L6 ANSWER 1 OF 6 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 2000:790531 CAPLUS
DOCUMENT NUMBER: 133:350392
TITLE: Preparation of 3.alpha.-hydroxy-3.beta.-methoxymethyl-21-heterocyclic substituted steroids with anesthetic activity
INVENTOR(S): Hogenkamp, Derk L.
PATENT ASSIGNEE(S): Cocensys, Inc., USA
SOURCE: PCT Int. Appl., 25 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000066614	A1	20001109	WO 2000-US11680	20000428
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
BR 2000010060	A	20020115	BR 2000-10060	20000428
EP 1177206	A1	20020206	EP 2000-930250	20000428
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
JP 2002543218	T2	20021217	JP 2000-615643	20000428
NO 2001005262	A	20011219	NO 2001-5262	20011026

PRIORITY APPLN. INFO.: US 1999-131578P P 19990429
WO 2000-US11680 W 20000428
REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 2 OF 6 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1999:778442 CAPLUS
DOCUMENT NUMBER: 132:132237
TITLE: Response-rate suppression in operant paradigm as predictor of soporific potency in rats and identification of three novel sedative-hypnotic neuroactive steroids
AUTHOR(S): Vanover, Kimberly E.; Edgar, Dale M.; Seidel, Wesley F.; Hogenkamp, Derk J.; Fick, David B.; Lan, Nancy C.; Gee, Kelvin W.; Carter, Richard B.
CORPORATE SOURCE: CoCensys, Inc., Irvine, CA, USA
SOURCE: Journal of Pharmacology and Experimental Therapeutics (1999), 291(3), 1317-1323
CODEN: JPETAB; ISSN: 0022-3565
PUBLISHER: American Society for Pharmacology and Experimental Therapeutics
DOCUMENT TYPE: Journal
LANGUAGE: English
REFERENCE COUNT: 21 THERE ARE 21 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 3 OF 6 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1999:450892 CAPLUS
DOCUMENT NUMBER: 131:102428
TITLE: Preparation of neuroactive steroids of the androstane and pregnane series
INVENTOR(S): Upasani, Ravindra B.; Fick, David B.; Hogenkamp, Derk J.; Lan, Nancy C.
PATENT ASSIGNEE(S): Cocensys, Inc., USA
SOURCE: U.S., 28 pp.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 5925630	A	19990720	US 1996-659192	19960606
CA 2223996	AA	19961219	CA 1996-2223996	19960606
CN 1190404	A	19980812	CN 1996-195360	19960606

PRIORITY APPLN. INFO.: US 1995-467404 A2 19950606
OTHER SOURCE(S): MARPAT 131:102428
REFERENCE COUNT: 81 THERE ARE 81 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 4 OF 6 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1998:112239 CAPLUS
DOCUMENT NUMBER: 128:188632
TITLE: Use of GABA agonists and NMDA receptor antagonists for the treatment of migraine headache
INVENTOR(S): Lan, Nancy C.
PATENT ASSIGNEE(S): Cocensys, Inc., USA; Lan, Nancy C.
SOURCE: PCT Int. Appl., 47 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9805337	A1	19980212	WO 1997-US13430	19970731
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, HU, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
AU 9739672	A1	19980225	AU 1997-39672	19970731

PRIORITY APPLN. INFO.: US 1996-22937P P 19960801
WO 1997-US13430 W 19970731

L6 ANSWER 5 OF 6 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1997:113460 CAPLUS
DOCUMENT NUMBER: 126:131695
TITLE: Preparation of neuroactive steroids of the androstane and pregnane series
INVENTOR(S): Upasani, Ravindra B.; Fick, David B.; Hogenkamp, Derk J.; Lan, Nancy C.
PATENT ASSIGNEE(S): Cocensys, Inc., USA
SOURCE: PCT Int. Appl., 94 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9640043	A2	19961219	WO 1996-US10115	19960606
WO 9640043	A3	19970327		
W: AL, AM, AT, AU, AZ, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, EE, ES, FI, GB, GE, HU, IL, IS, JP, KE, KG, KP, KR, KZ, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG				
RW: KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN				
CA 2223996	AA	19961219	CA 1996-2223996	19960606
AU 9661725	A1	19961230	AU 1996-61725	19960606
AU 725214	B2	20001005		
EP 837874	A2	19980429	EP 1996-919372	19960606
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI				
CN 1190404	A	19980812	CN 1996-195360	19960606
BR 9608592	A	19990629	BR 1996-8592	19960606
JP 11507643	T2	19990706	JP 1996-502210	19960606
NO 9705608	A	19980206	NO 1997-5608	19971204
FI 9704448	A	19971205	FI 1997-4448	19971205

PRIORITY APPLN. INFO.: US 1995-467404 A 19950606
WO 1996-US10115 W 19960606
OTHER SOURCE(S): MARPAT 126:131695

L6 ANSWER 6 OF 6 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1995:858705 CAPLUS
DOCUMENT NUMBER: 123:266118
TITLE: Codrugs as a method of controlled drug delivery
INVENTOR(S): Ashton, Paul; Crooks, Peter Anthony; Riggs, Robert Mack; Cynkowski, Tadeusz; Cynkowska, Grazyna
PATENT ASSIGNEE(S): University of Kentucky Research Foundation, USA
SOURCE: PCT Int. Appl., 57 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 6
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9520567	A1	19950803	WO 1994-US1659	19940217
W: AU, CA, JP				
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
CA 2182228	AA	19950803	CA 1994-2182228	19940217
AU 9462545	A1	19950815	AU 1994-62545	19940217
AU 705226	B2	19990520		
EP 740650	A1	19961106	EP 1994-909643	19940217
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE				
JP 09509151	T2	19970916	JP 1994-520023	19940217
US 6051576	A	20000418	US 1997-791071	19970129

PRIORITY APPLN. INFO.: US 1994-187462 19940128
WO 1994-US1659 19940217
US 1995-388855 19950215

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L6 ANSWER 1 OF 6 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 2000:790531 CAPLUS
DOCUMENT NUMBER: 133:350392
TITLE: Preparation of 3.alpha.-hydroxy-3.beta.-methoxymethyl-21-heterocyclic substituted steroids with anesthetic activity
INVENTOR(S): Hogenkamp, Derk L.
PATENT ASSIGNEE(S): Cocensys, Inc., USA
SOURCE: PCT Int. Appl., 25 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

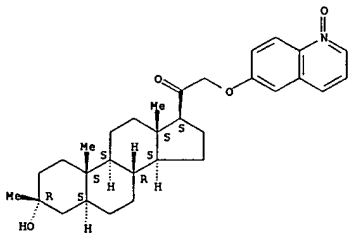
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000066614	A1	20001109	WO 2000-US11680	20000428
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
BR 2000010060	A	20020115	BR 2000-10060	20000428
EP 1177206	A1	20020206	EP 2000-930250	20000428
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
JP 2002543218	T2	20021217	JP 2000-615643	20000428
NO 2001005262	A	20011219	NO 2001-5262	20011026

PRIORITY APPLN. INFO.: US 1999-131578P P 19990429
WO 2000-US11680 W 20000428

IT **304910-83-6P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(prepn. of 3.alpha.-hydroxy-3.beta.-methoxymethyl-21-heterocyclic substituted steroids with anesthetic activity)
RN 304910-83-6 CAPLUS
CN Pregnan-20-one, 3-hydroxy-3-(methoxymethyl)-21-(6-quinolinyloxy)-, (3.alpha.,5.alpha.)- (9CI) (CA INDEX NAME)

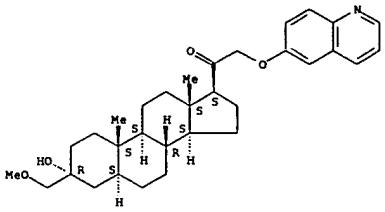
Absolute stereochemistry.

L6 ANSWER 1 OF 6 CAPLUS COPYRIGHT 2002 ACS (Continued)



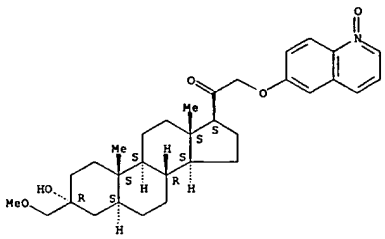
AB 3.alpha.-Hydroxy-3.beta.-methoxymethyl-21-heterocyclic substituted steroids I (R1 = H, Me; R2 = 5.alpha.- or 5.beta.-H; R3 = optionally substituted N-attached heteroaryl group or -XR4 where R4 = optionally substituted carbon-attached heteroaryl group; X = O, S, N) or a pharmaceutically acceptable salt, prodrug or solvate thereof were prepd. Thus II was prepd. from 3.alpha.-hydroxy-3.beta.-methoxymethyl-5.alpha.-pregnan-20-one and imidazole which was then converted to the hydrochloride salt. Steroids I are useful as anticonvulsants, sedative/hypnotics and anesthetics [no data].
REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 1 OF 6 CAPLUS COPYRIGHT 2002 ACS (Continued)



IT **256955-85-8P 304910-84-7P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of 3.alpha.-hydroxy-3.beta.-methoxymethyl-21-heterocyclic substituted steroids with anesthetic activity)
RN 256955-85-8 CAPLUS
CN Pregnan-20-one, 3-hydroxy-3-(methoxymethyl)-21-[(1-oxido-6-quinolinyl)oxy]-, (3.alpha.,5.alpha.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

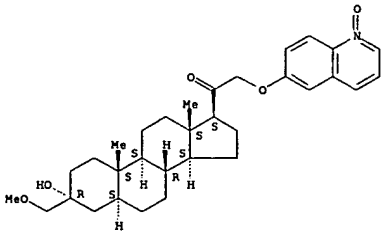


RN 304910-84-7 CAPLUS
CN Pregnan-20-one, 3-hydroxy-3-methyl-21-[(1-oxido-6-quinolinyl)oxy]-, (3.alpha.,5.alpha.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L6 ANSWER 2 OF 6 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1999:778442 CAPLUS
DOCUMENT NUMBER: 132:132237
TITLE: Response-rate suppression in operant paradigm as predictor of soporific potency in rats and identification of three novel sedative-hypnotic neuroactive steroids
AUTHOR(S): Vanover, Kimberly E.; Edgar, Dale M.; Seidel, Wesley F.; Hogenkamp, Derk J.; Fick, David B.; Lan, Nancy C.; Gee, Kelvin W.; Carter, Richard B.
CORPORATE SOURCE: CoCensys, Inc., Irvine, CA, USA
SOURCE: Journal of Pharmacology and Experimental Therapeutics (1999), 291(3), 1317-1323
CODEN: JPETAB; ISSN: 0022-3565
PUBLISHER: American Society for Pharmacology and Experimental Therapeutics
DOCUMENT TYPE: Journal
LANGUAGE: English
IT **256955-85-8**, Co 177843
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(response-rate suppression in operant paradigm as predictor of soporific potency: novel sedative-hypnotic neuroactive steroids identification)
RN 256955-85-8 CAPLUS
CN Pregnan-20-one, 3-hydroxy-3-(methoxymethyl)-21-[(1-oxido-6-quinolinyl)oxy]-, (3.alpha.,5.alpha.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



AB Novel neuroactive steroids were evaluated for their effects on operant responding, rotorod motor performance, and EEG recording in rats. Co 134444, Co 177843, and Co 127501 were compared with the prototypical .gamma.-aminobutyric acidA-pos. allosteric modulators triazolam, zolpidem, pentobarbital, pregnanolone, and CCD 3693. Each of the compds. produced a dose-related decrease in response rates under a variable-interval 2-min schedule of pos. reinforcement in an operant paradigm. In addn., all compds. produced a dose-related increase in ataxia and significant increases in nonrapid eye movement sleep in this expt. or have been previously reported to do so. Co 134444, Co 177843, and Co 127501 increased nonrapid eye movement sleep at doses that had no effect on rapid eye movement sleep. All of the compds. were more potent at decreasing

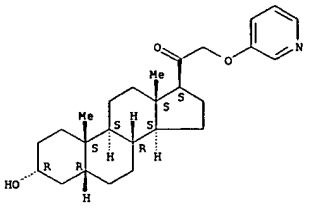
L6 ANSWER 2 OF 6 CAPLUS COPYRIGHT 2002 ACS (Continued)
operant responding than they were at increasing ataxia. Furthermore, the potency of compds. to produce response-rate suppression in an operant paradigm appeared to be a better predictor of soporific potency than did potency in the rotorod assay. The screening for sedative-hypnotic activity resulted in the identification of the novel orally active neuroactive steroids Co 134444, Co 177843, and Co 127501.
REFERENCE COUNT: 21 THERE ARE 21 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 3 OF 6 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1999:450892 CAPLUS
DOCUMENT NUMBER: 131:102428
TITLE: Preparation of neuroactive steroids of the androstane and pregnane series
INVENTOR(S): Upasani, Ravindra B.; Fick, David B.; Hogenkamp, Derk J.; Lan, Nancy C.
PATENT ASSIGNEE(S): Cocensys, Inc., USA
SOURCE: U.S., 28 pp.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 5925630	A	19990720	US 1996-659192	19960606
CA 2223996	AA	19961219	CA 1996-2223996	19960606
CN 1190404	A	19980812	CN 1996-195360	19960606

PRIORITY APPLN. INFO.: US 1995-467404 A2 19950606
OTHER SOURCE(S): MARPAT 131:102428
IT **186264-54-0P 186264-61-9P 186264-63-1P 186264-86-8P 186264-87-9P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of neuroactive steroids of androstane and pregnane series)
RN 186264-54-0 CAPLUS
CN Pregnan-20-one, 3-hydroxy-21-(3-pyridinyloxy)-, (3.alpha.,5.beta.)- (9CI) (CA INDEX NAME)

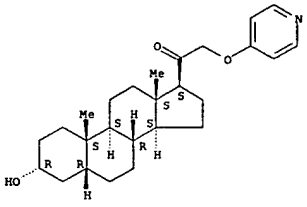
Absolute stereochemistry.



RN 186264-61-9 CAPLUS
CN Pregnan-20-one, 3-hydroxy-21-(4-pyridinyloxy)-, (3.alpha.,5.beta.)- (9CI) (CA INDEX NAME)

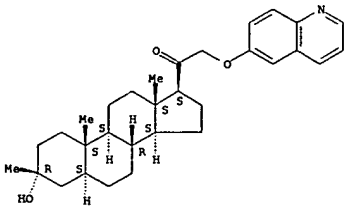
Absolute stereochemistry.

L6 ANSWER 3 OF 6 CAPLUS COPYRIGHT 2002 ACS (Continued)



RN 186264-63-1 CAPLUS
CN Pregnan-20-one, 3-hydroxy-3-methyl-21-[(6-quinolinyl)oxy]-, (3.alpha.,5.alpha.)- (9CI) (CA INDEX NAME)

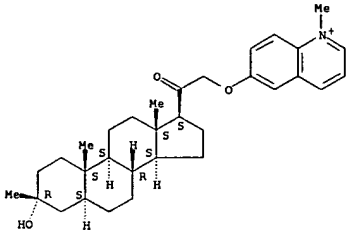
Absolute stereochemistry.



RN 186264-86-8 CAPLUS
CN Quinolinium, 6-[[(3.alpha.,5.alpha.)-3-hydroxy-3-methyl-20-oxopregnan-21-yl]oxy]-1-methyl-, iodide (9CI) (CA INDEX NAME)

Absolute stereochemistry.

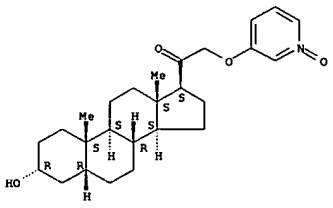
L6 ANSWER 3 OF 6 CAPLUS COPYRIGHT 2002 ACS (Continued)



● I⁻

RN 186264-87-9 CAPLUS
CN Pregnan-20-one, 3-hydroxy-21-[(1-oxido-3-pyridinyl)oxy]-, (3.alpha.,5.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



AB Neuroactive steroids of formula I [R = H, NH2, thio, sulfinyl, sulfonyl, halo, alkoxy, alkyl, alkenyl, alkynyl, etc.; R1 = H, alkyl, alkenyl, alkynyl, haloalkyl, aryl, etc.; R2 = H, alkoxy, keto, Me2N; R3 = alkoxy, alkenyloxy, alkynyloxy; R4 = H, Me; R5 = H, absent; R6 = H, alkanoyl, etc.; R7 = H, halo, OH, alkoxy, etc.; R8 = H, halo; R9 = H, halo, alkyl, alkoxy, arylalkoxy, amino; R10 = H, halo, alkyl, OH, alkoxy, CN, etc.] are prepd. These derivs. are capable of acting at a recently identified site on the GABA receptor complex (GRC), thereby modulating brain excitability in a manner that will alleviate stress, anxiety, insomnia, mood disorders that are amenable to GRC-active agents (such as depression) and seizure activity. Thus, 2-methyl-1-buten-3-yne was added to 17.beta.-methoxy-5.beta.-androstan-3-one to give II. II protected 87.5% of mice injected with metrazol from convulsions.
REFERENCE COUNT: 81 THERE ARE 81 CITED REFERENCES AVAILABLE FOR THIS

L6 ANSWER 3 OF 6 CAPLUS COPYRIGHT 2002 ACS (Continued)
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 4 OF 6 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1998:112239 CAPLUS
DOCUMENT NUMBER: 128:188632
TITLE: Use of GABA agonists and NMDA receptor antagonists for the treatment of migraine headache
INVENTOR(S): Lan, Nancy C.
PATENT ASSIGNEE(S): Cocensys, Inc., USA; Lan, Nancy C.
SOURCE: PCT Int. Appl., 47 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

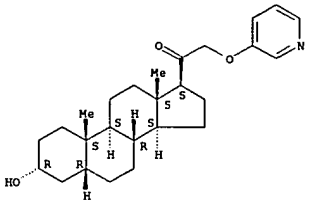
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9805337	A1	19980212	WO 1997-US13430	19970731
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, HU, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
AU 9739672	A1	19980225	AU 1997-39672	19970731

PRIORITY APPLN. INFO.: US 1996-22937P P 19960801
WO 1997-US13430 W 19970731

IT **186264-54-0 186264-61-9 186264-63-1 186264-86-8 186264-87-9**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(GABA agonists and NMDA receptor antagonists for migraine headache treatment)

RN 186264-54-0 CAPLUS
CN Pregnan-20-one, 3-hydroxy-21-(3-pyridinyloxy)-, (3.alpha.,5.beta.)- (9CI) (CA INDEX NAME)

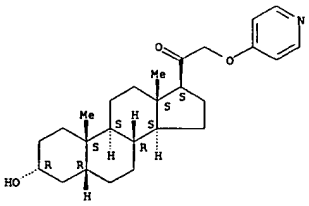
Absolute stereochemistry.



L6 ANSWER 4 OF 6 CAPLUS COPYRIGHT 2002 ACS (Continued)

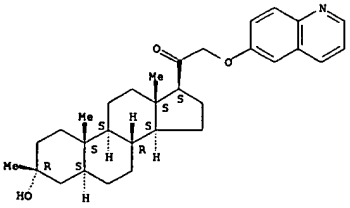
RN 186264-61-9 CAPLUS
CN Pregnan-20-one, 3-hydroxy-21-(4-pyridinyloxy)-, (3.alpha.,5.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 186264-63-1 CAPLUS
CN Pregnan-20-one, 3-hydroxy-3-methyl-21-[(6-quinolinyl)oxy]-, (3.alpha.,5.alpha.)- (9CI) (CA INDEX NAME)

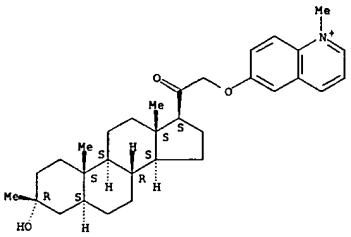
Absolute stereochemistry.



RN 186264-86-8 CAPLUS
CN Quinolinium, 6-[[(3.alpha.,5.alpha.)-3-hydroxy-3-methyl-20-oxopregnan-21-yl]oxy]-1-methyl-, iodide (9CI) (CA INDEX NAME)

Absolute stereochemistry.

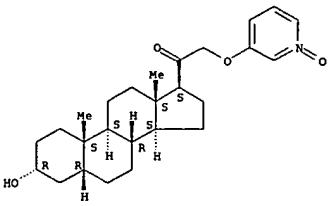
L6 ANSWER 4 OF 6 CAPLUS COPYRIGHT 2002 ACS (Continued)



● I⁻

RN 186264-87-9 CAPLUS
CN Pregnan-20-one, 3-hydroxy-21-[(1-oxido-3-pyridinyl)oxy]-, (3.alpha.,5.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



AB Methods are disclosed for treating or preventing migraine headache by administering to an animal a GABA receptor agonist (e.g. a neuroactive steroid) and/or an NMDA receptor antagonist (e.g. a dihydroquinoxaline deriv.). Also disclosed are pharmaceutical compns. and kits for the treatment or prevention of migraine headache.

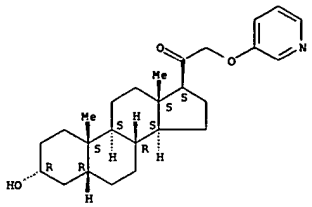
L6 ANSWER 5 OF 6 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1997:113460 CAPLUS
DOCUMENT NUMBER: 126:131695
TITLE: Preparation of neuroactive steroids of the androstane and pregnane series
INVENTOR(S): Upasani, Ravindra B.; Fick, David B.; Hogenkamp, Derk J.; Lan, Nancy C.
PATENT ASSIGNEE(S): Cocensys, Inc., USA
SOURCE: PCT Int. Appl., 94 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9640043	A2	19961219	WO 1996-US10115	19960606
WO 9640043	A3	19970327		
W: AL, AM, AT, AU, AZ, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, EE, ES, FI, GB, GE, HU, IL, IS, JP, KE, KG, KP, KR, KZ, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG				
RW: KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN				
CA 2223996	AA	19961219	CA 1996-2223996	19960606
AU 9661725	A1	19961230	AU 1996-61725	19960606
AU 725214	B2	20001005		
EP 837874	A2	19980429	EP 1996-919372	19960606
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI				
CN 1190404	A	19980812	CN 1996-195360	19960606
BR 9608592	A	19990629	BR 1996-8592	19960606
JP 11507643	T2	19990706	JP 1996-502210	19960606
NO 9705608	A	19980206	NO 1997-5608	19971204
FI 9704448	A	19971205	FI 1997-4448	19971205

PRIORITY APPLN. INFO.: US 1995-467404 A 19950606
WO 1996-US10115 W 19960606
OTHER SOURCE(S): MARPAT 126:131695
IT **186264-54-0P 186264-61-9P 186264-63-1P**
186264-86-8P 186264-87-9P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of neuroactive androstanes and pregnanes)
RN 186264-54-0 CAPLUS
CN Pregnan-20-one, 3-hydroxy-21-(3-pyridinyloxy)-, (3.alpha.,5.beta.)- (9CI) (CA INDEX NAME)

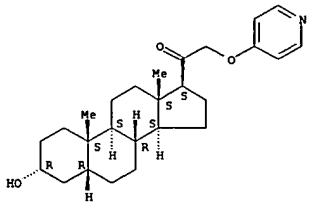
Absolute stereochemistry.

L6 ANSWER 5 OF 6 CAPLUS COPYRIGHT 2002 ACS (Continued)



RN 186264-61-9 CAPLUS
CN Pregnan-20-one, 3-hydroxy-21-(4-pyridinyloxy)-, (3.alpha.,5.beta.)- (9CI) (CA INDEX NAME)

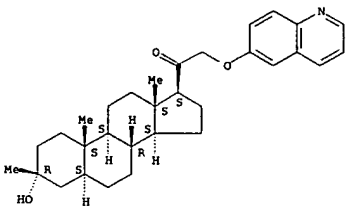
Absolute stereochemistry.



RN 186264-63-1 CAPLUS
CN Pregnan-20-one, 3-hydroxy-3-methyl-21-[(6-quinolinyl)oxy]-, (3.alpha.,5.beta.)- (9CI) (CA INDEX NAME)

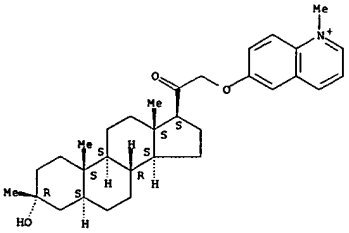
Absolute stereochemistry.

L6 ANSWER 5 OF 6 CAPLUS COPYRIGHT 2002 ACS (Continued)



RN 186264-86-8 CAPLUS
CN Quinolinium, 6-[[(3.alpha.,5.alpha.)-3-hydroxy-3-methyl-20-oxopregnan-21-yl]oxy]-1-methyl-, iodide (9CI) (CA INDEX NAME)

Absolute stereochemistry.

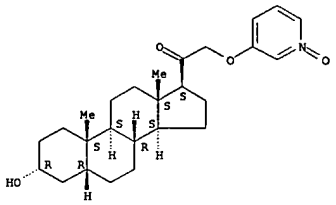


● I⁻

RN 186264-87-9 CAPLUS
CN Pregnan-20-one, 3-hydroxy-21-[(1-oxido-3-pyridinyl)oxy]-, (3.alpha.,5.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L6 ANSWER 5 OF 6 CAPLUS COPYRIGHT 2002 ACS (Continued)



AB Compds. of formula I [R = H, NH2, thio, sulfinyl, sulfonyl, halogen, alkoxy, alkyl, etc.; R1 = H, alkyl, alkenyl, alkynyl, aryl, etc.; R2 = H, OH, alkoxy, alkanoyloxy, carbalkoxy, keto, amino; R3 = H, alkoxy, alkenyloxy, etc.; R4 = H, alkyl; R5 = H, absent; R6 = H, alkanoyl, aminocarbonyl, alkoxycarbonyl; R7 = H, halogen, OH, alkoxy, alkanoyloxy, carbalkoxy; R8 = H, halogen; R9 = H, halogen, alkyl, alkoxy, arylalkoxy, amino; R10 = H, halogen, OH, alkyl, etc.] are prepd. as neuroactive prodrugs, due to their ability to modulate the GABAA receptor-chloride ionophore complex. These derivs. are capable of acting at a recently identified site on the GRC, thereby modulating brain excitability in a manner that will alleviate stress, anxiety, insomnia, mood disorders that are amenable to GRC-active agents (such as depression) and seizure activity. Thus, 2-methyl-1-buten-3-yne was added to 17.beta.-methoxy-5.beta.-androstan-3-one to give II. II (10 mg/kg IP) protected 87.5% of mice injected with metrazol from convulsions.

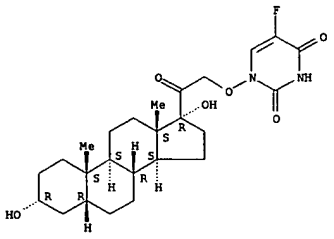
L6 ANSWER 6 OF 6 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1995:858705 CAPLUS
DOCUMENT NUMBER: 123:266118
TITLE: Codrugs as a method of controlled drug delivery
INVENTOR(S): Ashton, Paul; Crooks, Peter Anthony; Riggs, Robert Mack; Cynkowski, Tadeusz; Cynkowska, Grazyna
PATENT ASSIGNEE(S): University of Kentucky Research Foundation, USA
SOURCE: PCT Int. Appl., 57 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 6
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9520567	A1	19950803	WO 1994-US1659	19940217
W: AU, CA, JP				
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
CA 2182228	AA	19950803	CA 1994-2182228	19940217
AU 9462545	A1	19950815	AU 1994-62545	19940217
AU 705226	B2	19990520		
EP 740650	A1	19961106	EP 1994-909643	19940217
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE				
JP 09509151	T2	19970916	JP 1994-520023	19940217
US 6051576	A	20000418	US 1997-791071	19970129

PRIORITY APPLN. INFO.: US 1994-187462 19940128
WO 1994-US1659 19940217
US 1995-388855 19950215

IT 169046-79-1P
RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(codrug compns. for controlled drug delivery)
RN 169046-79-1 CAPLUS
CN 2,4(1H,3H)-Pyrimidinedione, 1-[[(3.alpha.,5.beta.)-3,17-dihydroxy-20-oxopregnan-21-yl]oxy]-5-fluoro- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L6 ANSWER 6 OF 6 CAPLUS COPYRIGHT 2002 ACS (Continued)
AB A codrug compn. of at least two drug compds. covalently linked to one another via a labile bond to form a single codrug compn., and methods of use of the codrug for the treatment of various medical conditions are disclosed. The codrug may be administered by itself or as a bioerodible or nonbioerodible dosage form, such as injection, liposome, suspension, microsphere, nanoparticle, ointment, transdermal patch, etc.

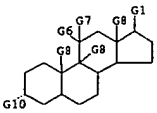
=> d ibib ab fqhit 1-2

L9 ANSWER 1 OF 2 MARPAT COPYRIGHT 2002 ACS
ACCESSION NUMBER: 129:50104 MARPAT
TITLE: Method for regulating neuropeptide hormone secretion
INVENTOR(S): Jackson, Meyer B.
PATENT ASSIGNEE(S): USA
SOURCE: U.S., 14 pp., Cont.-in-part of U. S. 5,550,120.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

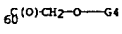
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 5763431	A	19980609	US 1996-701869	19960823
US 5550120	A	19960827	US 1995-415741	19950403
PRIORITY APPLN. INFO.:			US 1993-109683	19930820
			US 1995-415741	19950403

AB Methods are described for regulating neuropeptide secretion to alleviate premature labor, hypertension, fluid imbalance, and risk of heart disease using neuroactive steroids targeted for a newly-identified site of action in the nerve terminals of neurosecretory neurons. This class of compds. acts at receptors for the inhibitory neurotransmitter GABA. The compds. covered in this invention act at receptors for the inhibitory neurotransmitter GABA and include various ester, oxime, and thiazolidine derivs. of 3-hydroxylated-5-reduced-20-ones, 5-reduced-3,21 -pregnanediol-20-ones, and 5-reduced-3,20-pregnandiols having substituent in the 9-position. The method of the invention is applicable to human patients, farm animals, and pets.

MSTR 1



G1 = 60



G4 = piperidino
G10 = OH
MPL: claim 3

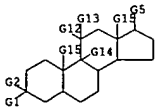
REFERENCE COUNT: 12 THERE ARE 12 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L9 ANSWER 2 OF 2 MARPAT COPYRIGHT 2002 ACS
ACCESSION NUMBER: 122:231756 MARPAT
TITLE: Method for regulating neuropeptide hormone secretion
INVENTOR(S): Jackson, Meyer B.
PATENT ASSIGNEE(S): USA
SOURCE: PCT Int. Appl., 26 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

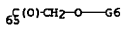
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9505826	A1	19950302	WO 1994-US9334	19940819
W: AU, CA, JP				
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
AU 9475691	A1	19950321	AU 1994-75691	19940819
PRIORITY APPLN. INFO.:			US 1993-109683	19930820
			WO 1994-US9334	19940819

AB Methods are described for regulating neuropeptide, vasopressin and oxytocin, secretion to alleviate premature labor, hypertension, fluid imbalance, and risk of heart disease using neuroactive steroids, such as allopregnanolone and alphaxalone, targeted for a newly-identified site of action in the nerve terminals of neurosecretory neurons in the posterior pituitary.

MSTR 1



G1 = OH
G5 = 65



G6 = piperidino
MPL: claim 1

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=> d his

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     FILE 'REGISTRY' ENTERED AT 11:16:29 ON 30 DEC 2002
L1              STRUCTURE UPLOADED
L2           36 S L1 FULL
L3              STRUCTURE UPLOADED
L4            9 S L3 FULL SUB=L2

     FILE 'USPATFULL' ENTERED AT 11:18:29 ON 30 DEC 2002
L5            2 S L4

     FILE 'CAPLUS' ENTERED AT 11:19:08 ON 30 DEC 2002
L6            6 S L4
L7            0 S L6 NOT L5

     FILE 'MARPAT' ENTERED AT 11:21:27 ON 30 DEC 2002
L8            4 S L4 FULL
L9            2 S L8/COM
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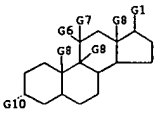
L9 ANSWER 1 OF 2 MARPAT COPYRIGHT 2002 ACS
ACCESSION NUMBER: 129:50104 MARPAT
TITLE: Method for regulating neuropeptide hormone secretion
INVENTOR(S): Jackson, Meyer B.
PATENT ASSIGNEE(S): USA
SOURCE: U.S., 14 pp., Cont.-in-part of U. S. 5,550,120.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

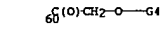
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 5763431	A	19980609	US 1996-701869	19960823
US 5550120	A	19960827	US 1995-415741	19950403
PRIORITY APPLN. INFO.:			US 1993-109683	19930820
			US 1995-415741	19950403

AB Methods are described for regulating neuropeptide secretion to alleviate premature labor, hypertension, fluid imbalance, and risk of heart disease using neuroactive steroids targeted for a newly-identified site of action in the nerve terminals of neurosecretory neurons. This class of compds. acts at receptors for the inhibitory neurotransmitter GABA. The compds. covered in this invention act at receptors for the inhibitory neurotransmitter GABA and include various ester, oxime, and thiazolidine derivs. of 3-hydroxylated-5-reduced-20-ones, 5-reduced-3,21-pregnanediol-20-ones, and 5-reduced-3,20-pregnandiols having substituent in the 9-position. The method of the invention is applicable to human patients, farm animals, and pets.

MSTR 1



G1 = 60



G4 = piperidino
G10 = OH
MPL: claim 3

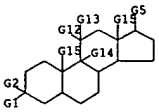
REFERENCE COUNT: 12 THERE ARE 12 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L9 ANSWER 2 OF 2 MARPAT COPYRIGHT 2002 ACS
ACCESSION NUMBER: 122:231756 MARPAT
TITLE: Method for regulating neuropeptide hormone secretion
INVENTOR(S): Jackson, Meyer B.
PATENT ASSIGNEE(S): USA
SOURCE: PCT Int. Appl., 26 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

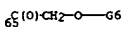
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9505826	A1	19950302	WO 1994-US9334	19940819
W: AU, CA, JP				
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
AU 9475691	A1	19950321	AU 1994-75691	19940819
PRIORITY APPLN. INFO.:			US 1993-109683	19930820
			WO 1994-US9334	19940819

AB Methods are described for regulating neuropeptide, vasopressin and oxytocin, secretion to alleviate premature labor, hypertension, fluid imbalance, and risk of heart disease using neuroactive steroids, such as allopregnanolone and alphaxalone, targeted for a newly-identified site of action in the nerve terminals of neurosecretory neurons in the posterior pituitary.

MSTR 1



G1 = OH
G5 = 65



G6 = piperidino
MPL: claim 1

=> d ibib ab hitstr 1-9

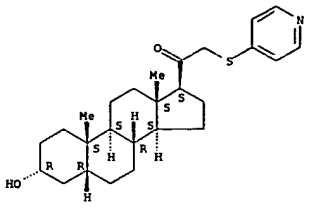
L4 ANSWER 1 OF 9 USPATFULL
ACCESSION NUMBER: 2001:136641 USPATFULL
TITLE: Methods for allosteric modulation of the GABA receptor by members of the androstane and pregnane series
INVENTOR(S): Upasani, Ravindra B., Foothill Ranch, CA, United States
Xia, Haiji, Foothill Ranch, CA, United States
Hogenkamp, Derk, Carlsbad, CA, United States
PATENT ASSIGNEE(S): Cocensys, Inc., Irvine, CA, United States (U.S. corporation)

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 6277838	B1	20010821
APPLICATION INFO.:	US 2000-547041		20000411 (9)

RELATED APPLN. INFO.: Division of Ser. No. US 1999-349902, filed on 8 Jul 1999, now patented, Pat. No. US 6143736 Division of Ser. No. US 1997-887229, filed on 2 Jul 1997, now patented, Pat. No. US 5939545 Continuation of Ser. No. US 1995-389820, filed on 14 Feb 1995, now abandoned Continuation-in-part of Ser. No. US 1994-346927, filed on 23 Nov 1994, now abandoned Continuation-in-part of Ser. No. US 1994-196919, filed on 14 Feb 1994, now abandoned
DOCUMENT TYPE: Utility
FILE SEGMENT: GRANTED
PRIMARY EXAMINER: Badio, Barbara P.
LEGAL REPRESENTATIVE: Sterne, Kessler, Goldstein & Fox P.L.L.C.
NUMBER OF CLAIMS: 22
EXEMPLARY CLAIM: 1
NUMBER OF DRAWINGS: 13 Drawing Figure(s); 11 Drawing Page(s)
LINE COUNT: 3560
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
AB Methods, compositions, and compounds for modulating the GABA.sub.A receptor-chloride ionophore complex to alleviate stress, anxiety, seizures, mood disorders, PMS and PND and to induce anesthesia.
IT **162883-05-8P**
(prepn. and formulation of 3.alpha.-hydroxypregnanes and analogs as sedatives and hypnotics)
RN 162883-05-8 USPATFULL
CN Pregnan-20-one, 3-hydroxy-21-(4-pyridinylthio)-, (3.alpha.,5.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L4 ANSWER 1 OF 9 USPATFULL (Continued)

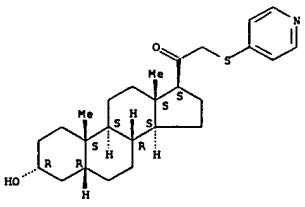


L4 ANSWER 2 OF 9 USPATFULL
ACCESSION NUMBER: 2000:150156 USPATFULL
TITLE: Methods, compositions, and compounds for allosteric modulation of the GABA receptor by members of the androstane and pregnane series
INVENTOR(S): Upasani, Ravindra B., Foothill Ranch, CA, United States
Xia, Haiji, Foothill Ranch, CA, United States
Hogenkamp, Derk, Carlsbad, CA, United States
PATENT ASSIGNEE(S): CoCensys, Inc., Irvine, CA, United States (U.S. corporation)

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 6143736		20001107
APPLICATION INFO.:	US 1999-349902		19990708 (9)

RELATED APPLN. INFO.: Division of Ser. No. US 1997-887229, filed on 2 Jul 1997, now patented, Pat. No. US 5939545 which is a continuation of Ser. No. US 1995-389820, filed on 14 Feb 1995, now abandoned which is a continuation-in-part of Ser. No. US 1994-346927, filed on 23 Nov 1994, now abandoned which is a continuation-in-part of Ser. No. US 1994-196919, filed on 14 Feb 1994, now abandoned
DOCUMENT TYPE: Utility
FILE SEGMENT: Granted
PRIMARY EXAMINER: Dees, Jose' G.
ASSISTANT EXAMINER: Badio, Barbara
LEGAL REPRESENTATIVE: Sterne, Kessler, Goldstein & Fox P.L.L.C.
NUMBER OF CLAIMS: 35
EXEMPLARY CLAIM: 1
NUMBER OF DRAWINGS: 12 Drawing Figure(s); 11 Drawing Page(s)
LINE COUNT: 3699
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
AB Methods, compositions, and compounds for modulating the GABA.sub.A receptor-chloride ionophore complex to alleviate stress, anxiety, seizures, mood disorders, PMS and PND and to induce anesthesia.
IT **162883-05-8P**
(prepn. and formulation of 3.alpha.-hydroxypregnanes and analogs as sedatives and hypnotics)
RN 162883-05-8 USPATFULL
CN Pregnan-20-one, 3-hydroxy-21-(4-pyridinylthio)-, (3.alpha.,5.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L4 ANSWER 2 OF 9 USPATFULL (Continued)

L5 ANSWER 1 OF 11 CAPLUS COPYRIGHT 2002 ACS

ACCESSION NUMBER: 2000:790531 CAPLUS
DOCUMENT NUMBER: 133:350392
TITLE: Preparation of 3.alpha.-hydroxy-3.beta.-methoxymethyl-21-heterocyclic substituted steroids with anesthetic activity
INVENTOR(S): Hogenkamp, Derk L.
PATENT ASSIGNEE(S): Cocensys, Inc., USA
SOURCE: PCT Int. Appl., 25 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000066614	A1	20001109	WO 2000-US11680	20000428
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
BR 2000010060	A	20020115	BR 2000-10060	20000428
EP 1177206	A1	20020206	EP 2000-930250	20000428
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
JP 2002543218	T2	20021217	JP 2000-615643	20000428
NO 2001005262	A	20011219	NO 2001-5262	20011026

PRIORITY APPLN. INFO.: US 1999-131578P P 19990429
WO 2000-US11680 W 20000428

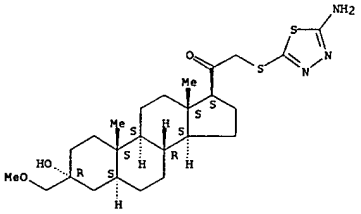
AB 3.alpha.-Hydroxy-3.beta.-methoxymethyl-21-heterocyclic substituted steroids I (R1 = H, Me; R2 = 5.alpha.- or 5.beta.-H; R3 = optionally substituted N-attached heteroaryl group or -XR4 where R4 = optionally substituted carbon-attached heteroaryl group; X = O, S, N) or a pharmaceutically acceptable salt, prodrug or solvate thereof were prepd. Thus II was prepd. from 3.alpha.-hydroxy-3.beta.-methoxymethyl-5.alpha.-pregnan-20-one and imidazole which was then converted to the hydrochloride salt. Steroids I are useful as anticonvulsants, sedative/hypnotics and anesthetics [no data].

IT **304910-83-6P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(prepn. of 3.alpha.-hydroxy-3.beta.-methoxymethyl-21-heterocyclic substituted steroids with anesthetic activity)

RN 304910-83-6 CAPLUS
CN Pregnan-20-one, 3-hydroxy-3-(methoxymethyl)-21-(6-quinolinyloxy)-, (3.alpha.,5.alpha.)- (9CI) (CA INDEX NAME)

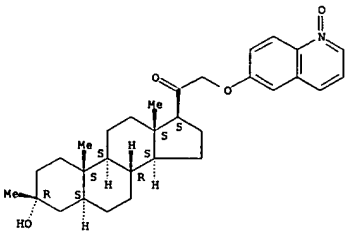
Absolute stereochemistry.

L5 ANSWER 1 OF 11 CAPLUS COPYRIGHT 2002 ACS (Continued)



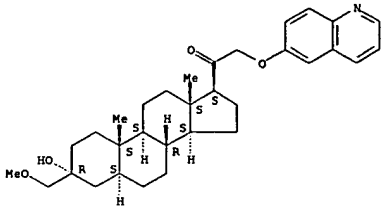
RN 304910-84-7 CAPLUS
CN Pregnan-20-one, 3-hydroxy-3-methyl-21-[(1-oxido-6-quinolinyl)oxy]-, (3.alpha.,5.alpha.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

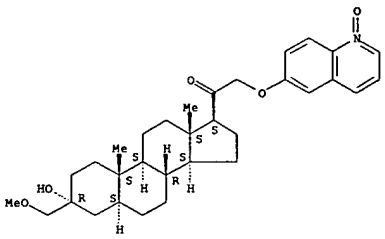
L5 ANSWER 1 OF 11 CAPLUS COPYRIGHT 2002 ACS (Continued)



IT **256955-85-8P 304910-82-5P 304910-84-7P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of 3.alpha.-hydroxy-3.beta.-methoxymethyl-21-heterocyclic substituted steroids with anesthetic activity)

RN 256955-85-8 CAPLUS
CN Pregnan-20-one, 3-hydroxy-3-(methoxymethyl)-21-[(1-oxido-6-quinolinyl)oxy]-, (3.alpha.,5.alpha.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 304910-82-5 CAPLUS
CN Pregnan-20-one, 21-[(5-amino-1,3,4-thiadiazol-2-yl)thio]-3-hydroxy-3-(methoxymethyl)-, (3.alpha.,5.alpha.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L5 ANSWER 2 OF 11 CAPLUS COPYRIGHT 2002 ACS

ACCESSION NUMBER: 1999:778442 CAPLUS
DOCUMENT NUMBER: 132:132237
TITLE: Response-rate suppression in operant paradigm as predictor of soporific potency in rats and identification of three novel sedative-hypnotic neuroactive steroids
AUTHOR(S): Vanover, Kimberly E.; Edgar, Dale M.; Seidel, Wesley F.; Hogenkamp, Derk J.; Fick, David B.; Lan, Nancy C.; Gee, Kelvin W.; Carter, Richard B.
CORPORATE SOURCE: CoCensys, Inc., Irvine, CA, USA
SOURCE: Journal of Pharmacology and Experimental Therapeutics (1999), 291(3), 1317-1323
CODEN: JPETAB; ISSN: 0022-3565
PUBLISHER: American Society for Pharmacology and Experimental Therapeutics
DOCUMENT TYPE: Journal
LANGUAGE: English

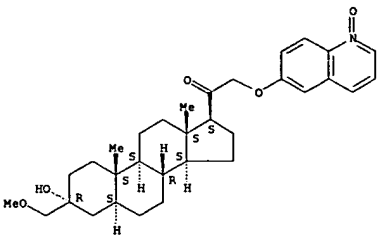
AB Novel neuroactive steroids were evaluated for their effects on operant responding, rotorod motor performance, and EEG recording in rats. Co 134444, Co 177843, and Co 127501 were compared with the prototypical .gamma.-aminobutyric acidA-pos. allosteric modulators triazolam, zolpidem, pentobarbital, pregnanolone, and CCD 3693. Each of the compds. produced a dose-related decrease in response rates under a variable-interval 2-min schedule of pos. reinforcement in an operant paradigm. In addn., all compds. produced a dose-related increase in ataxia and significant increases in nonrapid eye movement sleep in this expt. or have been previously reported to do so. Co 134444, Co 177843, and Co 127501 increased nonrapid eye movement sleep at doses that had no effect on rapid eye movement sleep. All of the compds. were more potent at decreasing operant responding than they were at increasing ataxia. Furthermore, the potency of compds. to produce response-rate suppression in an operant paradigm appeared to be a better predictor of soporific potency than did potency in the rotorod assay. The screening for sedative-hypnotic activity resulted in the identification of the novel orally active neuroactive steroids Co 134444, Co 177843, and Co 127501.

IT **256955-85-8**, Co 177843
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(response-rate suppression in operant paradigm as predictor of soporific potency: novel sedative-hypnotic neuroactive steroids identification)

RN 256955-85-8 CAPLUS
CN Pregnan-20-one, 3-hydroxy-3-(methoxymethyl)-21-[(1-oxido-6-quinolinyl)oxy]-, (3.alpha.,5.alpha.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L5 ANSWER 2 OF 11 CAPLUS COPYRIGHT 2002 ACS (Continued)



REFERENCE COUNT: 21 THERE ARE 21 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 3 OF 11 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1999:450892 CAPLUS
DOCUMENT NUMBER: 131:102428
TITLE: Preparation of neuroactive steroids of the androstane and pregnane series
INVENTOR(S): Upasani, Ravindra B.; Fick, David B.; Hogenkamp, Derk J.; Lan, Nancy C.
PATENT ASSIGNEE(S): Cocensys, Inc., USA
SOURCE: U.S., 28 pp.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 5925630	A	19990720	US 1996-659192	19960606
CA 2223996	AA	19961219	CA 1996-2223996	19960606
CN 1190404	A	19980812	CN 1996-195360	19960606

PRIORITY APPLN. INFO.: US 1995-467404 A2 19950606
OTHER SOURCE(S): MARPAT 131:102428

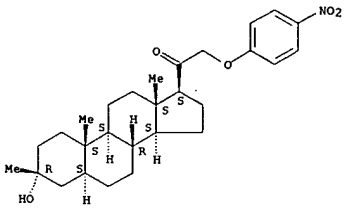
AB Neuroactive steroids of formula I [R = H, NH2, thio, sulfinyl, sulfonyl, halo, alkoxy, alkyl, alkenyl, alkynyl, etc.; R1 = H, alkyl, alkenyl, alkynyl, haloalkyl, aryl, etc.; R2 = H, alkoxy, keto, Me2N; R3 = alkoxy, alkenyloxy, alkynyloxy; R4 = H, Me; R5 = H, absent; R6 = H, alkanoyl, etc.; R7 = H, halo, OH, alkoxy, etc.; R8 = H, halo; R9 = H, halo, alkyl, alkoxy, arylalkoxy, amino; R10 = H, halo, alkyl, OH, alkoxy, CN, etc.] are prepd. These derivs. are capable of acting at a recently identified site on the GABA receptor complex (GRC), thereby modulating brain excitability in a manner that will alleviate stress, anxiety, insomnia, mood disorders that are amenable to GRC-active agents (such as depression) and seizure activity. Thus, 2-methyl-1-buten-3-yne was added to 17.beta.-methoxy-5.beta.-androstan-3-one to give II. II protected 87.5% of mice injected with metrazol from convulsions.

IT **186264-62-0P 186264-74-4P 186264-76-6P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(prepn. of neuroactive steroids of androstane and pregnane series)

RN 186264-62-0 CAPLUS
CN Pregnan-20-one, 3-hydroxy-3-methyl-21-(4-nitrophenoxy)-, (3.alpha.,5.alpha.)- (9CI) (CA INDEX NAME)

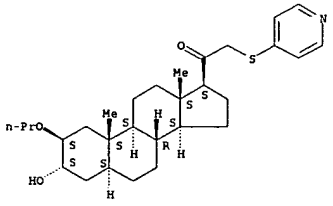
Absolute stereochemistry.

L5 ANSWER 3 OF 11 CAPLUS COPYRIGHT 2002 ACS (Continued)



RN 186264-74-4 CAPLUS
CN Pregnan-20-one, 3-hydroxy-2-propoxy-21-(4-pyridinylthio)-, (2.beta.,3.alpha.,5.alpha.)- (9CI) (CA INDEX NAME)

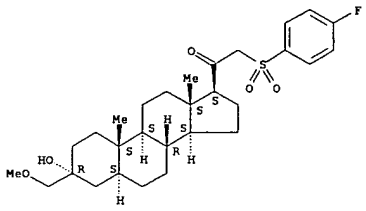
Absolute stereochemistry.



RN 186264-76-6 CAPLUS
CN Pregnan-20-one, 21-[(4-fluorophenyl)sulfonyl]-3-hydroxy-3-(methoxymethyl)-, (3.alpha.,5.alpha.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

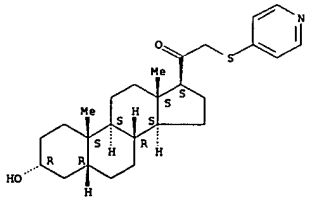
L5 ANSWER 3 OF 11 CAPLUS COPYRIGHT 2002 ACS (Continued)



IT **162883-05-8P 186264-24-4P 186264-27-7P**
186264-31-3P 186264-33-5P 186264-34-6P
186264-35-7P 186264-36-8P 186264-37-9P
186264-38-0P 186264-54-0P 186264-61-9P
186264-63-1P 186264-64-2P 186264-65-3P
186264-66-4P 186264-67-5P 186264-69-7P
186264-79-9P 186264-81-3P 186264-82-4P
186264-84-6P 186264-85-7P 186264-86-8P
186264-87-9P 203719-57-7P 230958-75-5P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of neuroactive steroids of androstane and pregnane series)

RN 162883-05-8 CAPLUS
CN Pregnan-20-one, 3-hydroxy-21-(4-pyridinylthio)-, (3.alpha.,5.beta.)- (9CI) (CA INDEX NAME)

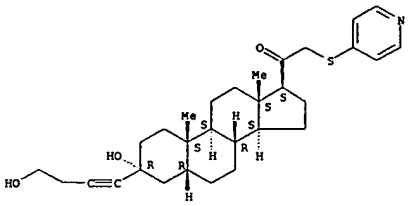
Absolute stereochemistry.



RN 186264-24-4 CAPLUS
CN Pregnan-20-one, 3-hydroxy-3-(4-hydroxy-1-butynyl)-21-(4-pyridinylthio)-, (3.alpha.,5.beta.)- (9CI) (CA INDEX NAME)

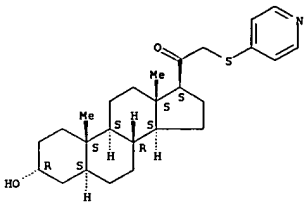
Absolute stereochemistry.

L5 ANSWER 3 OF 11 CAPLUS COPYRIGHT 2002 ACS (Continued)



RN 186264-27-7 CAPLUS
CN Pregnan-20-one, 3-hydroxy-21-(4-pyridinylthio)-, (3.alpha.,5.alpha.)- (9CI) (CA INDEX NAME)

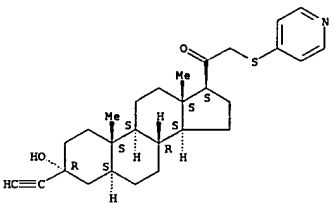
Absolute stereochemistry.



RN 186264-31-3 CAPLUS
CN Pregnan-20-one, 3-hydroxy-3-(methoxymethyl)-21-[(4-nitrophenyl)thio]-, (3.alpha.,5.alpha.)- (9CI) (CA INDEX NAME)

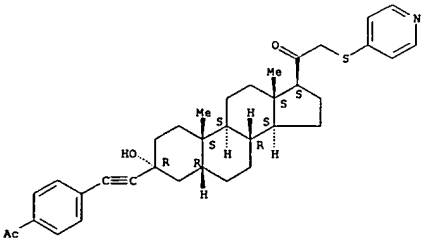
Absolute stereochemistry.

L5 ANSWER 3 OF 11 CAPLUS COPYRIGHT 2002 ACS (Continued)



RN 186264-35-7 CAPLUS
CN Pregnan-20-one, 3-[(4-acetylphenyl)ethynyl]-3-hydroxy-21-(4-pyridinylthio)-, (3.alpha.,5.beta.)- (9CI) (CA INDEX NAME)

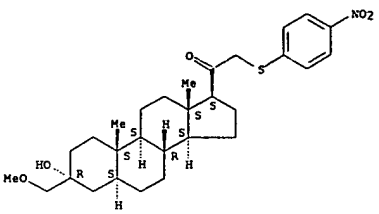
Absolute stereochemistry.



RN 186264-36-8 CAPLUS
CN Pyridinium, 4-[[(3.alpha.,5.beta.)-3-hydroxy-20-oxopregnan-21-yl]thio]-1-methyl-, iodide (9CI) (CA INDEX NAME)

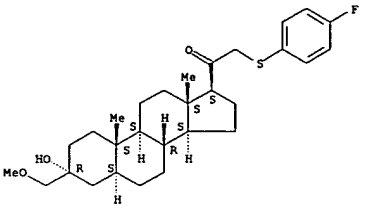
Absolute stereochemistry.

L5 ANSWER 3 OF 11 CAPLUS COPYRIGHT 2002 ACS (Continued)



RN 186264-33-5 CAPLUS
CN Pregnan-20-one, 21-[(4-fluorophenyl)thio]-3-hydroxy-3-(methoxymethyl)-, (3.alpha.,5.alpha.)- (9CI) (CA INDEX NAME)

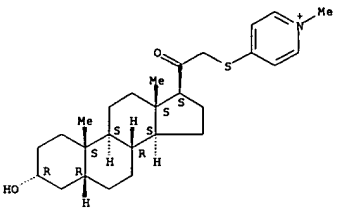
Absolute stereochemistry.



RN 186264-34-6 CAPLUS
CN Pregnan-20-one, 3-ethynyl-3-hydroxy-21-(4-pyridinylthio)-, (3.alpha.,5.alpha.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

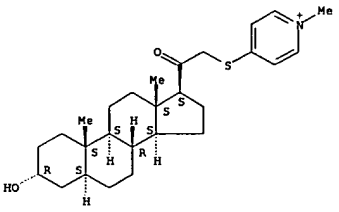
L5 ANSWER 3 OF 11 CAPLUS COPYRIGHT 2002 ACS (Continued)



● I⁻

RN 186264-37-9 CAPLUS
CN Pyridinium, 4-[[(3.alpha.,5.alpha.)-3-hydroxy-20-oxopregnan-21-yl]thio]-1-methyl-, iodide (9CI) (CA INDEX NAME)

Absolute stereochemistry.

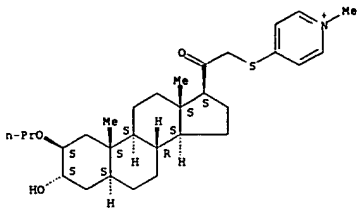


● I⁻

RN 186264-38-0 CAPLUS
CN Pyridinium, 4-[[(2.beta.,3.alpha.,5.alpha.)-3-hydroxy-20-oxo-2-propoxypregnan-21-yl]thio]-1-methyl-, iodide (9CI) (CA INDEX NAME)

Absolute stereochemistry.

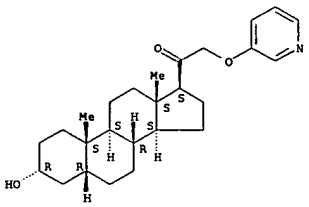
L5 ANSWER 3 OF 11 CAPLUS COPYRIGHT 2002 ACS (Continued)



● I-

RN 186264-54-0 CAPLUS
CN Pregnan-20-one, 3-hydroxy-21-(3-pyridinyloxy)-, (3.alpha.,5.beta.)- (9CI) (CA INDEX NAME)

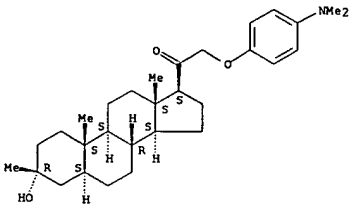
Absolute stereochemistry.



RN 186264-61-9 CAPLUS
CN Pregnan-20-one, 3-hydroxy-21-(4-pyridinyloxy)-, (3.alpha.,5.beta.)- (9CI) (CA INDEX NAME)

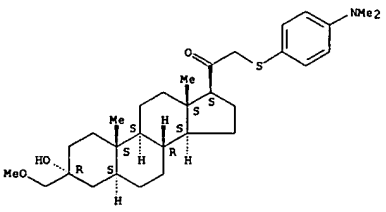
Absolute stereochemistry.

L5 ANSWER 3 OF 11 CAPLUS COPYRIGHT 2002 ACS (Continued)



RN 186264-65-3 CAPLUS
CN Pregnan-20-one, 21-[[4-(dimethylamino)phenyl]thio]-3-hydroxy-3-(methoxymethyl)-, (3.alpha.,5.alpha.)- (9CI) (CA INDEX NAME)

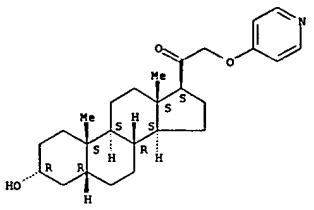
Absolute stereochemistry.



RN 186264-66-4 CAPLUS
CN Pregnan-20-one, 3-hydroxy-3-(methoxymethyl)-21-[(4-nitrophenyl)sulfonyl]-, (3.alpha.,5.alpha.)- (9CI) (CA INDEX NAME)

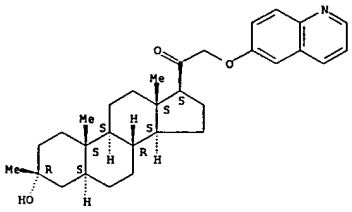
Absolute stereochemistry.

L5 ANSWER 3 OF 11 CAPLUS COPYRIGHT 2002 ACS (Continued)



RN 186264-63-1 CAPLUS
CN Pregnan-20-one, 3-hydroxy-3-methyl-21-[(6-quinolinyl)oxy]-, (3.alpha.,5.alpha.)- (9CI) (CA INDEX NAME)

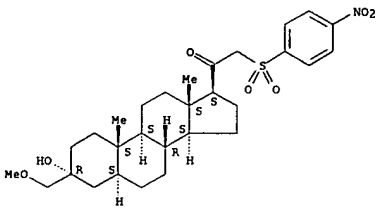
Absolute stereochemistry.



RN 186264-64-2 CAPLUS
CN Pregnan-20-one, 21-[4-(dimethylamino)phenoxy]-3-hydroxy-3-methyl-, (3.alpha.,5.alpha.)- (9CI) (CA INDEX NAME)

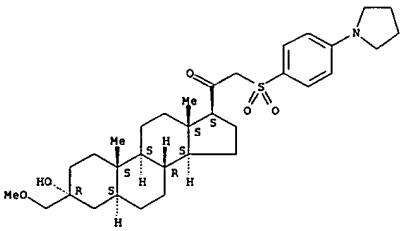
Absolute stereochemistry.

L5 ANSWER 3 OF 11 CAPLUS COPYRIGHT 2002 ACS (Continued)



RN 186264-67-5 CAPLUS
CN Pregnan-20-one, 3-hydroxy-3-(methoxymethyl)-21-[[4-(1-pyrrolidinyl)phenyl]sulfonyl]-, (3.alpha.,5.alpha.)- (9CI) (CA INDEX NAME)

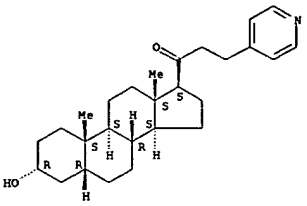
Absolute stereochemistry.



RN 186264-69-7 CAPLUS
CN 1-Propanone, 1-[(3.alpha.,5.beta.,17.beta.)-3-hydroxyandrostan-17-yl]-3-(4-pyridinyl)- (9CI) (CA INDEX NAME)

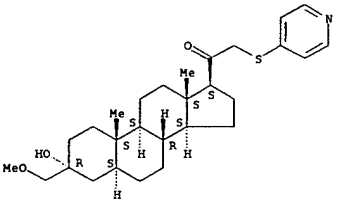
Absolute stereochemistry.

L5 ANSWER 3 OF 11 CAPLUS COPYRIGHT 2002 ACS (Continued)



RN 186264-79-9 CAPLUS
CN Pregnan-20-one, 3-hydroxy-3-(methoxymethyl)-21-(4-pyridinylthio)-, (3.alpha.,5.alpha.)- (9CI) (CA INDEX NAME)

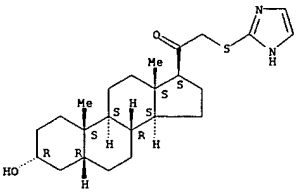
Absolute stereochemistry.



RN 186264-81-3 CAPLUS
CN Pregnan-20-one, 21-[(4-aminophenyl)thio]-3-hydroxy-3-(methoxymethyl)-, (3.alpha.,5.alpha.)- (9CI) (CA INDEX NAME)

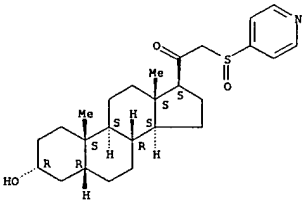
Absolute stereochemistry.

L5 ANSWER 3 OF 11 CAPLUS COPYRIGHT 2002 ACS (Continued)



RN 186264-85-7 CAPLUS
CN Pregnan-20-one, 3-hydroxy-21-(4-pyridinylsulfinyl)-, (3.alpha.,5.beta.)- (9CI) (CA INDEX NAME)

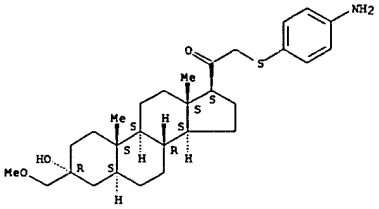
Absolute stereochemistry.



RN 186264-86-8 CAPLUS
CN Quinolinium, 6-[[(3.alpha.,5.alpha.)-3-hydroxy-3-methyl-20-oxopregnan-21-yl]oxy]-1-methyl-, iodide (9CI) (CA INDEX NAME)

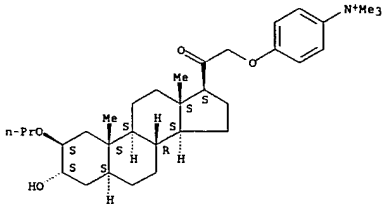
Absolute stereochemistry.

L5 ANSWER 3 OF 11 CAPLUS COPYRIGHT 2002 ACS (Continued)



RN 186264-82-4 CAPLUS
CN Benzenaminium, 4-[[(2.beta.,3.alpha.,5.alpha.)-3-hydroxy-20-oxo-2-propoxypregnan-21-yl]oxy]-N,N,N-trimethyl-, iodide (9CI) (CA INDEX NAME)

Absolute stereochemistry.



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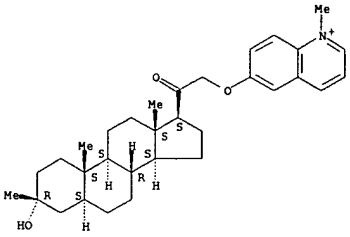
RN 186264-84-6 CAPLUS
CN Pregnan-20-one, 3-hydroxy-21-(1H-imidazol-2-ylthio)-, (3.alpha.,5.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

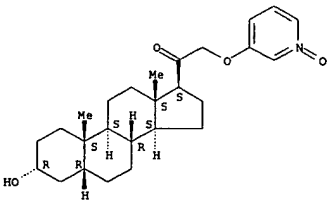
L5 ANSWER 3 OF 11 CAPLUS COPYRIGHT 2002 ACS (Continued)



● I⁻

RN 186264-87-9 CAPLUS
CN Pregnan-20-one, 3-hydroxy-21-[(1-oxido-3-pyridinyl)oxy]-, (3.alpha.,5.beta.)- (9CI) (CA INDEX NAME)

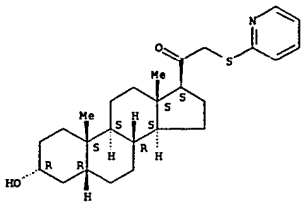
Absolute stereochemistry.



RN 203719-57-7 CAPLUS
CN Pregnan-20-one, 3-hydroxy-21-(2-pyridinylthio)-, (3.alpha.,5.beta.)- (9CI) (CA INDEX NAME)

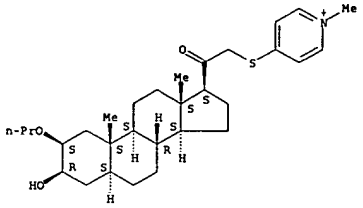
Absolute stereochemistry.

L5 ANSWER 3 OF 11 CAPLUS COPYRIGHT 2002 ACS (Continued)



RN 230958-75-5 CAPLUS
CN Pyridinium, 4-[[(2.beta.,3.beta.,5.alpha.)-3-hydroxy-20-oxo-2-propoxypregnan-21-yl]thio]-1-methyl-, iodide (9CI) (CA INDEX NAME)

Absolute stereochemistry.



● I-

REFERENCE COUNT: 81 THERE ARE 81 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 4 OF 11 CAPLUS COPYRIGHT 2002 ACS

ACCESSION NUMBER: 1998:112239 CAPLUS
DOCUMENT NUMBER: 128:188632
TITLE: Use of GABA agonists and NMDA receptor antagonists for the treatment of migraine headache
INVENTOR(S): Lan, Nancy C.
PATENT ASSIGNEE(S): Cocensys, Inc., USA; Lan, Nancy C.
SOURCE: PCT Int. Appl., 47 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9805337	A1	19980212	WO 1997-US13430	19970731
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, HU, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
AU 9739672	A1	19980225	AU 1997-39672	19970731

PRIORITY APPLN. INFO.: US 1996-22937P P 19960801
WO 1997-US13430 W 19970731

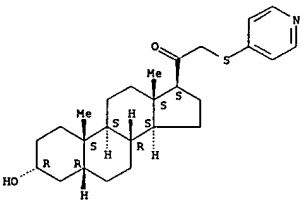
AB Methods are disclosed for treating or preventing migraine headache by administering to an animal a GABA receptor agonist (e.g. a neuroactive steroid) and/or an NMDA receptor antagonist (e.g. a dihydroquinoxaline deriv.). Also disclosed are pharmaceutical compns. and kits for the treatment or prevention of migraine headache.

IT 162883-05-8 186264-24-4 186264-27-7
186264-31-3 186264-33-5 186264-34-6
186264-35-7 186264-36-8 186264-37-9
186264-54-0 186264-61-9 186264-62-0
186264-63-1 186264-64-2 186264-65-3
186264-66-4 186264-67-5 186264-69-7
186264-74-4 186264-76-6 186264-79-9
186264-81-3 186264-82-4 186264-84-6
186264-85-7 186264-86-8 186264-87-9
186265-72-5 186265-73-6 203714-64-1
203716-90-9 203719-56-6 203719-57-7
203785-79-9 203785-83-5
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(GABA agonists and NMDA receptor antagonists for migraine headache treatment)

RN 162883-05-8 CAPLUS
CN Pregnan-20-one, 3-hydroxy-21-(4-pyridinylthio)-, (3.alpha.,5.beta.)- (9CI) (CA INDEX NAME)

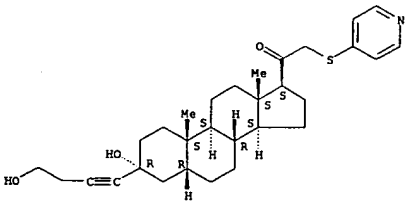
Absolute stereochemistry.

L5 ANSWER 4 OF 11 CAPLUS COPYRIGHT 2002 ACS (Continued)



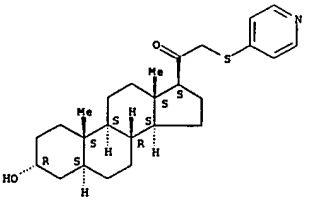
RN 186264-24-4 CAPLUS
CN Pregnan-20-one, 3-hydroxy-3-(4-hydroxy-1-butynyl)-21-(4-pyridinylthio)-, (3.alpha.,5.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 186264-27-7 CAPLUS
CN Pregnan-20-one, 3-hydroxy-21-(4-pyridinylthio)-, (3.alpha.,5.alpha.)- (9CI) (CA INDEX NAME)

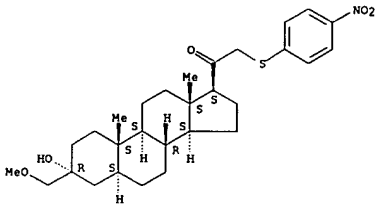
Absolute stereochemistry.



L5 ANSWER 4 OF 11 CAPLUS COPYRIGHT 2002 ACS (Continued)

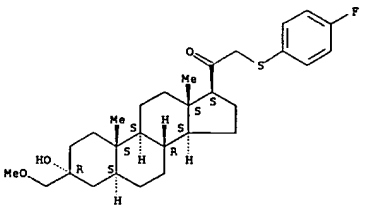
RN 186264-31-3 CAPLUS
CN Pregnan-20-one, 3-hydroxy-3-(methoxymethyl)-21-[(4-nitrophenyl)thio]-, (3.alpha.,5.alpha.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 186264-33-5 CAPLUS
CN Pregnan-20-one, 21-[(4-fluorophenyl)thio]-3-hydroxy-3-(methoxymethyl)-, (3.alpha.,5.alpha.)- (9CI) (CA INDEX NAME)

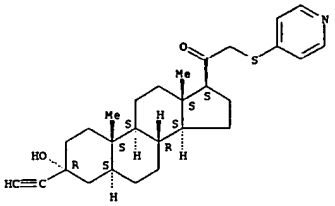
Absolute stereochemistry.



RN 186264-34-6 CAPLUS
CN Pregnan-20-one, 3-ethynyl-3-hydroxy-21-(4-pyridinylthio)-, (3.alpha.,5.alpha.)- (9CI) (CA INDEX NAME)

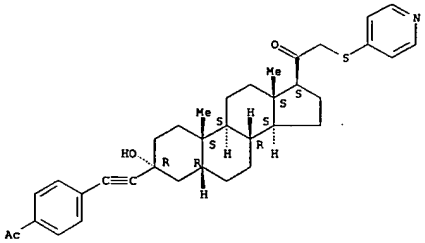
Absolute stereochemistry.

L5 ANSWER 4 OF 11 CAPLUS COPYRIGHT 2002 ACS (Continued)



RN 186264-35-7 CAPLUS
CN Pregnan-20-one, 3-[(4-acetylphenyl)ethynyl]-3-hydroxy-21-(4-pyridinylthio)-, (3.alpha.,5.beta.)- (9CI) (CA INDEX NAME)

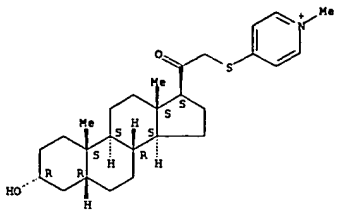
Absolute stereochemistry.



RN 186264-36-8 CAPLUS
CN Pyridinium, 4-[[(3.alpha.,5.beta.)-3-hydroxy-20-oxopregnan-21-yl]thio]-1-methyl-, iodide (9CI) (CA INDEX NAME)

Absolute stereochemistry.

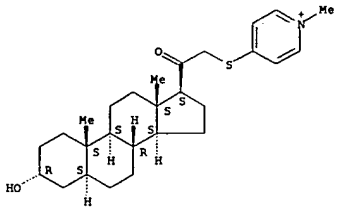
L5 ANSWER 4 OF 11 CAPLUS COPYRIGHT 2002 ACS (Continued)



● I⁻

RN 186264-37-9 CAPLUS
CN Pyridinium, 4-[[(3.alpha.,5.alpha.)-3-hydroxy-20-oxopregnan-21-yl]thio]-1-methyl-, iodide (9CI) (CA INDEX NAME)

Absolute stereochemistry.

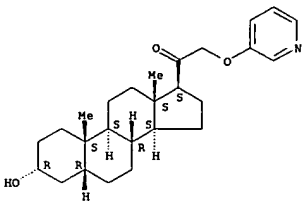


● I⁻

RN 186264-54-0 CAPLUS
CN Pregnan-20-one, 3-hydroxy-21-(3-pyridinyloxy)-, (3.alpha.,5.beta.)- (9CI) (CA INDEX NAME)

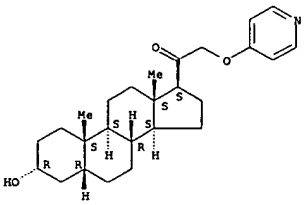
Absolute stereochemistry.

L5 ANSWER 4 OF 11 CAPLUS COPYRIGHT 2002 ACS (Continued)



RN 186264-61-9 CAPLUS
CN Pregnan-20-one, 3-hydroxy-21-(4-pyridinyloxy)-, (3.alpha.,5.beta.)- (9CI) (CA INDEX NAME)

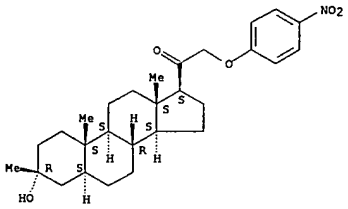
Absolute stereochemistry.



RN 186264-62-0 CAPLUS
CN Pregnan-20-one, 3-hydroxy-3-methyl-21-(4-nitrophenoxy)-, (3.alpha.,5.alpha.)- (9CI) (CA INDEX NAME)

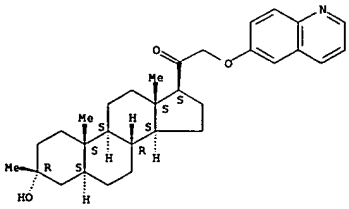
Absolute stereochemistry.

L5 ANSWER 4 OF 11 CAPLUS COPYRIGHT 2002 ACS (Continued)



RN 186264-63-1 CAPLUS
CN Pregnan-20-one, 3-hydroxy-3-methyl-21-[(6-quinolinyl)oxy]-, (3.alpha.,5.alpha.)- (9CI) (CA INDEX NAME)

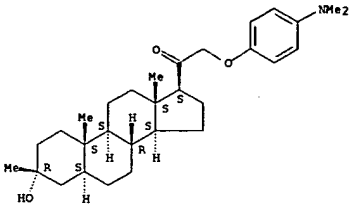
Absolute stereochemistry.



RN 186264-64-2 CAPLUS
CN Pregnan-20-one, 21-[4-(dimethylamino)phenoxy]-3-hydroxy-3-methyl-, (3.alpha.,5.alpha.)- (9CI) (CA INDEX NAME)

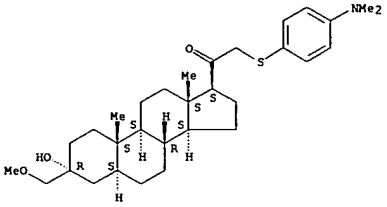
Absolute stereochemistry.

L5 ANSWER 4 OF 11 CAPLUS COPYRIGHT 2002 ACS (Continued)



RN 186264-65-3 CAPLUS
CN Pregnan-20-one, 21-[[4-(dimethylamino)phenyl]thio]-3-hydroxy-3-(methoxymethyl)-, (3.alpha.,5.alpha.)- (9CI) (CA INDEX NAME)

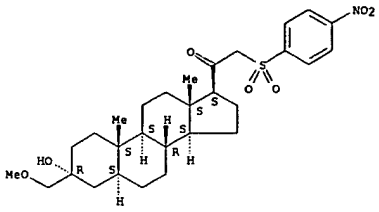
Absolute stereochemistry.



RN 186264-66-4 CAPLUS
CN Pregnan-20-one, 3-hydroxy-3-(methoxymethyl)-21-[(4-nitrophenyl)sulfonyl]-, (3.alpha.,5.alpha.)- (9CI) (CA INDEX NAME)

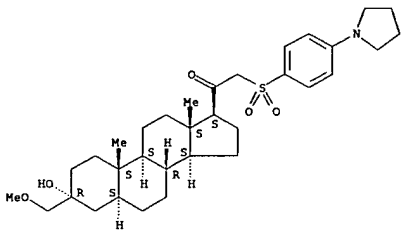
Absolute stereochemistry.

L5 ANSWER 4 OF 11 CAPLUS COPYRIGHT 2002 ACS (Continued)



RN 186264-67-5 CAPLUS
CN Pregnan-20-one, 3-hydroxy-3-(methoxymethyl)-21-[[4-(1-pyrrolidinyl)phenyl]sulfonyl]-, (3.alpha.,5.alpha.)- (9CI) (CA INDEX NAME)

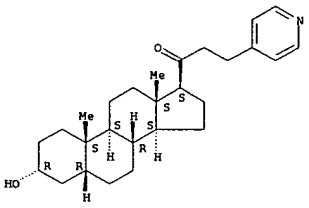
Absolute stereochemistry.



RN 186264-69-7 CAPLUS
CN 1-Propanone, 1-[(3.alpha.,5.beta.,17.beta.)-3-hydroxyandrostan-17-yl]-3-(4-pyridinyl)- (9CI) (CA INDEX NAME)

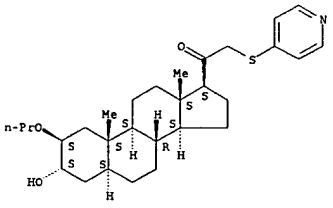
Absolute stereochemistry.

L5 ANSWER 4 OF 11 CAPLUS COPYRIGHT 2002 ACS (Continued)



RN 186264-74-4 CAPLUS
CN Pregnan-20-one, 3-hydroxy-2-propoxy-21-(4-pyridinylthio)-, (2.beta.,3.alpha.,5.alpha.)- (9CI) (CA INDEX NAME)

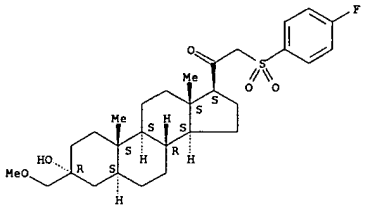
Absolute stereochemistry.



RN 186264-76-6 CAPLUS
CN Pregnan-20-one, 21-[(4-fluorophenyl)sulfonyl]-3-hydroxy-3-(methoxymethyl)-, (3.alpha.,5.alpha.)- (9CI) (CA INDEX NAME)

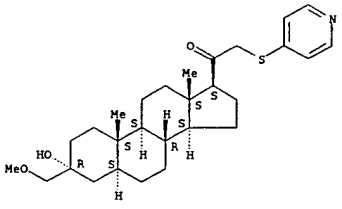
Absolute stereochemistry.

L5 ANSWER 4 OF 11 CAPLUS COPYRIGHT 2002 ACS (Continued)



RN 186264-79-9 CAPLUS
CN Pregnan-20-one, 3-hydroxy-3-(methoxymethyl)-21-(4-pyridinylthio)-, (3.alpha.,5.alpha.)- (9CI) (CA INDEX NAME)

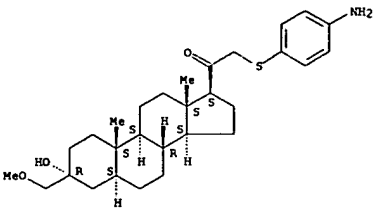
Absolute stereochemistry.



RN 186264-81-3 CAPLUS
CN Pregnan-20-one, 21-[(4-aminophenyl)thio]-3-hydroxy-3-(methoxymethyl)-, (3.alpha.,5.alpha.)- (9CI) (CA INDEX NAME)

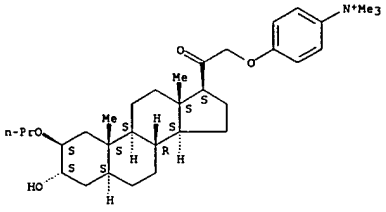
Absolute stereochemistry.

L5 ANSWER 4 OF 11 CAPLUS COPYRIGHT 2002 ACS (Continued)



RN 186264-82-4 CAPLUS
CN Benzenaminium, 4-[[(2.beta.,3.alpha.,5.alpha.)-3-hydroxy-20-oxo-2-propoxypregnan-21-yl]oxy]-N,N,N-trimethyl-, iodide (9CI) (CA INDEX NAME)

Absolute stereochemistry.

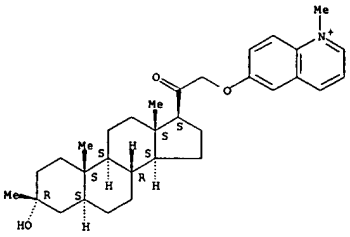


● I⁻

RN 186264-84-6 CAPLUS
CN Pregnan-20-one, 3-hydroxy-21-(1H-imidazol-2-ylthio)-, (3.alpha.,5.beta.)-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

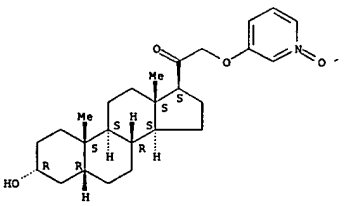
L5 ANSWER 4 OF 11 CAPLUS COPYRIGHT 2002 ACS (Continued)



● I⁻

RN 186264-87-9 CAPLUS
CN Pregnan-20-one, 3-hydroxy-21-[(1-oxido-3-pyridinyl)oxy]-, (3.alpha.,5.beta.)- (9CI) (CA INDEX NAME)

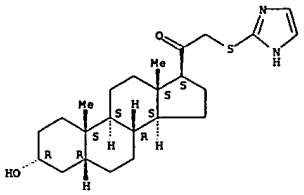
Absolute stereochemistry.



RN 186265-72-5 CAPLUS
CN Pregnan-20-one, 3-hydroxy-3-(methoxymethyl)-21-[(4-nitrophenyl)sulfinyl]-, (3.alpha.,5.alpha.)- (9CI) (CA INDEX NAME)

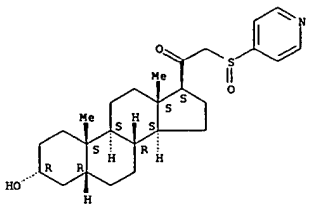
Absolute stereochemistry.

L5 ANSWER 4 OF 11 CAPLUS COPYRIGHT 2002 ACS (Continued)



RN 186264-85-7 CAPLUS
CN Pregnan-20-one, 3-hydroxy-21-(4-pyridinylsulfinyl)-, (3.alpha.,5.beta.)-(9CI) (CA INDEX NAME)

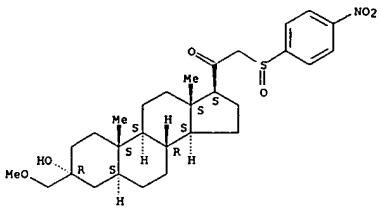
Absolute stereochemistry.



RN 186264-86-8 CAPLUS
CN Quinolinium, 6-[[(3.alpha.,5.alpha.)-3-hydroxy-3-methyl-20-oxopregnan-21-yl]oxy]-1-methyl-, iodide (9CI) (CA INDEX NAME)

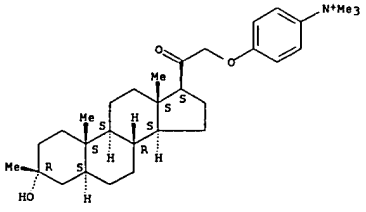
Absolute stereochemistry.

L5 ANSWER 4 OF 11 CAPLUS COPYRIGHT 2002 ACS (Continued)



RN 186265-73-6 CAPLUS
CN Benzenaminium, 4-[[(3.alpha.,5.alpha.)-3-hydroxy-3-methyl-20-oxopregnan-21-yl]oxy]-N,N,N-trimethyl-, iodide (9CI) (CA INDEX NAME)

Absolute stereochemistry.

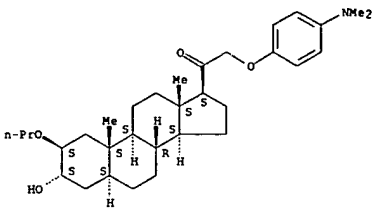


● I⁻

RN 203714-64-1 CAPLUS
CN Pregnan-20-one, 21-[4-(dimethylamino)phenoxy]-3-hydroxy-2-propoxy-, (2.beta.,3.alpha.,5.alpha.)- (9CI) (CA INDEX NAME)

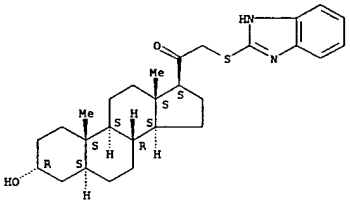
Absolute stereochemistry.

L5 ANSWER 4 OF 11 CAPLUS COPYRIGHT 2002 ACS (Continued)



RN 203716-90-9 CAPLUS
CN Pregnan-20-one, 21-(1H-benzimidazol-2-ylthio)-3-hydroxy-, (3.alpha.,5.alpha.)- (9CI) (CA INDEX NAME)

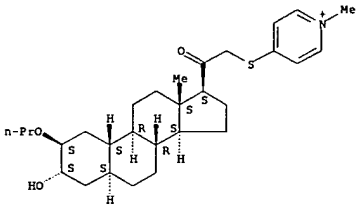
Absolute stereochemistry.



RN 203719-56-6 CAPLUS
CN Pregnan-20-one, 3-hydroxy-21-(1H-imidazol-2-ylthio)-, (3.alpha.,5.alpha.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

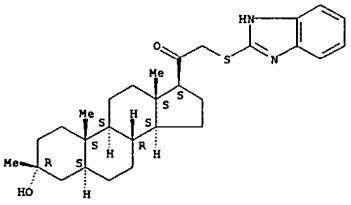
L5 ANSWER 4 OF 11 CAPLUS COPYRIGHT 2002 ACS (Continued)



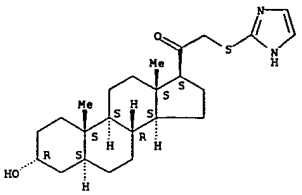
● I⁻

RN 203785-83-5 CAPLUS
CN Pregnan-20-one, 21-(1H-benzimidazol-2-ylthio)-3-hydroxy-3-methyl-, (3.alpha.,5.alpha.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

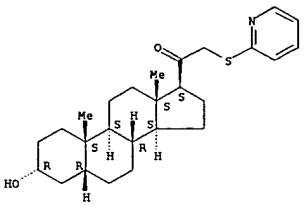


L5 ANSWER 4 OF 11 CAPLUS COPYRIGHT 2002 ACS (Continued)



RN 203719-57-7 CAPLUS
CN Pregnan-20-one, 3-hydroxy-21-(2-pyridinylthio)-, (3.alpha.,5.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 203785-79-9 CAPLUS
CN Pyridinium, 4-[[(2.beta.,3.alpha.,5.alpha.)-3-hydroxy-20-oxo-2-propoxy-19-norpregnan-21-yl]thio]-1-methyl-, iodide (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L5 ANSWER 5 OF 11 CAPLUS COPYRIGHT 2002 ACS

ACCESSION NUMBER: 1997:113460 CAPLUS
DOCUMENT NUMBER: 126:131695
TITLE: Preparation of neuroactive steroids of the androstane and pregnane series
INVENTOR(S): Upasani, Ravindra B.; Fick, David B.; Hogenkamp, Derk J.; Lan, Nancy C.
PATENT ASSIGNEE(S): Cocensys, Inc., USA
SOURCE: PCT Int. Appl., 94 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9640043	A2	19961219	WO 1996-US10115	19960606
WO 9640043	A3	19970327		
W: AL, AM, AT, AU, AZ, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, EE, ES, FI, GB, GE, HU, IL, IS, JP, KE, KG, KP, KR, KZ, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG				
RW: KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN				
CA 2223996	AA	19961219	CA 1996-2223996	19960606
AU 9661725	A1	19961230	AU 1996-61725	19960606
AU 725214	B2	20001005		
EP 837874	A2	19980429	EP 1996-919372	19960606
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI				
CN 1190404	A	19980812	CN 1996-195360	19960606
BR 9608592	A	19990629	BR 1996-8592	19960606
JP 11507643	T2	19990706	JP 1996-502210	19960606
NO 9705608	A	19980206	NO 1997-5608	19971204
FI 9704448	A	19971205	FI 1997-4448	19971205

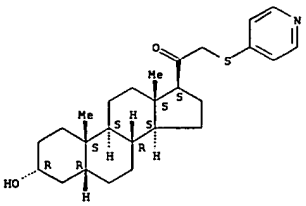
PRIORITY APPLN. INFO.: US 1995-467404 A 19950606
WO 1996-US10115 W 19960606
OTHER SOURCE(S): MARPAT 126:131695

AB Compds. of formula I [R = H, NH2, thio, sulfinyl, sulfonyl, halogen, alkoxy, alkyl, etc.; R1 = H, alkyl, alkenyl, alkynyl, aryl, etc.; R2 = H, OH, alkoxy, alkanoyloxy, carbalkoxy, keto, amino; R3 = H, alkoxy, alkenyloxy, etc.; R4 = H, alkyl; R5 = H, absent; R6 = H, alkanoyl, aminocarbonyl, alkoxycarbonyl; R7 = H, halogen, OH, alkoxy, alkanoyloxy, carbalkoxy; R8 = H, halogen; R9 = H, halogen, alkyl, alkoxy, arylalkoxy, amino; R10 = H, halogen, OH, alkyl, etc.] are prepd. as neuroactive prodrugs, due to their ability to modulate the GABAA receptor-chloride ionophore complex. These derivs. are capable of acting at a recently identified site on the GRC, thereby modulating brain excitability in a manner that will alleviate stress, anxiety, insomnia, mood disorders that are amenable to GRC-active agents (such as depression) and seizure activity. Thus, 2-methyl-1-buten-3-yne was added to 17.beta.-methoxy-5.beta.-androstan-3-one to give II. II (10 mg/kg IP) protected 87.5% of mice injected with metrazol from convulsions.

IT **162883-05-8P 186264-27-7P 186264-31-3P 186264-62-0P 186264-74-4P 186264-76-6P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(prepn. of neuroactive androstanes and pregnanes)

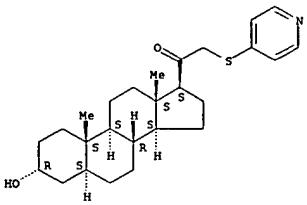
L5 ANSWER 5 OF 11 CAPLUS COPYRIGHT 2002 ACS (Continued)
RN 162883-05-8 CAPLUS
CN Pregnan-20-one, 3-hydroxy-21-(4-pyridinylthio)-, (3.alpha.,5.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 186264-27-7 CAPLUS
CN Pregnan-20-one, 3-hydroxy-21-(4-pyridinylthio)-, (3.alpha.,5.alpha.)- (9CI) (CA INDEX NAME)

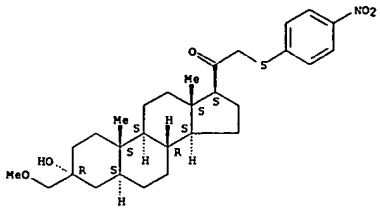
Absolute stereochemistry.



RN 186264-31-3 CAPLUS
CN Pregnan-20-one, 3-hydroxy-3-(methoxymethyl)-21-[(4-nitrophenyl)thio]-, (3.alpha.,5.alpha.)- (9CI) (CA INDEX NAME)

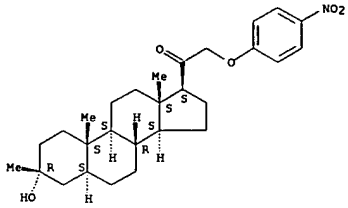
Absolute stereochemistry.

L5 ANSWER 5 OF 11 CAPLUS COPYRIGHT 2002 ACS (Continued)



RN 186264-62-0 CAPLUS
CN Pregnan-20-one, 3-hydroxy-3-methyl-21-(4-nitrophenoxy)-, (3.alpha.,5.alpha.)- (9CI) (CA INDEX NAME)

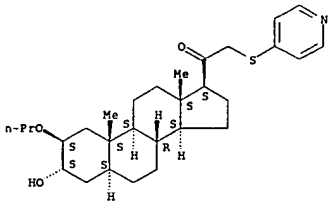
Absolute stereochemistry.



RN 186264-74-4 CAPLUS
CN Pregnan-20-one, 3-hydroxy-2-propoxy-21-(4-pyridinylthio)-, (2.beta.,3.alpha.,5.alpha.)- (9CI) (CA INDEX NAME)

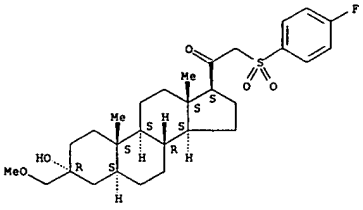
Absolute stereochemistry.

L5 ANSWER 5 OF 11 CAPLUS COPYRIGHT 2002 ACS (Continued)



RN 186264-76-6 CAPLUS
CN Pregnan-20-one, 21-[[(4-fluorophenyl)sulfonyl]-3-hydroxy-3-(methoxymethyl)-, (3.alpha.,5.alpha.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



IT 186264-24-4P 186264-29-9P 186264-33-5P
186264-34-6P 186264-35-7P 186264-36-8P
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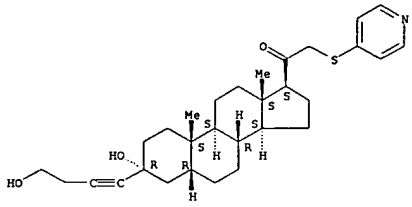
186264-61-9P 186264-63-1P 186264-64-2P
186264-65-3P 186264-66-4P 186264-67-5P
186264-69-7P 186264-79-9P 186264-81-3P
186264-82-4P 186264-84-6P 186264-85-7P
186264-86-8P 186264-87-9P 186265-72-5P
186265-73-6P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of neuroactive androstanes and pregnanes)
RN 186264-24-4 CAPLUS
CN Pregnan-20-one, 3-hydroxy-3-(4-hydroxy-1-butynyl)-21-(4-pyridinylthio)-, (3.alpha.,5.beta.)- (9CI) (CA INDEX NAME)

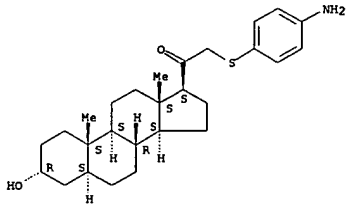
Absolute stereochemistry.

L5 ANSWER 5 OF 11 CAPLUS COPYRIGHT 2002 ACS (Continued)



RN 186264-29-9 CAPLUS
CN Pregnan-20-one, 21-[[(4-aminophenyl)thio]-3-hydroxy-, (3.alpha.,5.alpha.)- (9CI) (CA INDEX NAME)

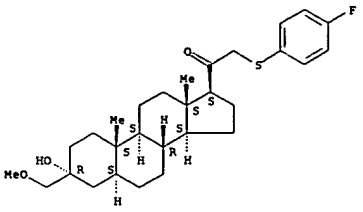
Absolute stereochemistry.



RN 186264-33-5 CAPLUS
CN Pregnan-20-one, 21-[(4-fluorophenyl)thio]-3-hydroxy-3-(methoxymethyl)-, (3.alpha.,5.alpha.)- (9CI) (CA INDEX NAME)

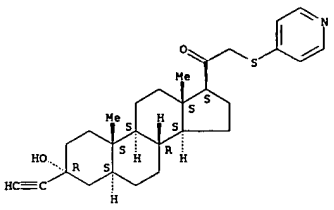
Absolute stereochemistry.

L5 ANSWER 5 OF 11 CAPLUS COPYRIGHT 2002 ACS (Continued)



RN 186264-34-6 CAPLUS
CN Pregnan-20-one, 3-ethynyl-3-hydroxy-21-(4-pyridinylthio)-, (3.alpha.,5.alpha.)- (9CI) (CA INDEX NAME)

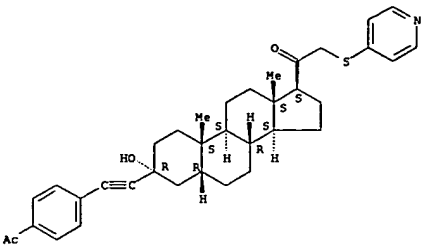
Absolute stereochemistry.



RN 186264-35-7 CAPLUS
CN Pregnan-20-one, 3-[(4-acetylphenyl)ethynyl]-3-hydroxy-21-(4-pyridinylthio)-, (3.alpha.,5.beta.)- (9CI) (CA INDEX NAME)

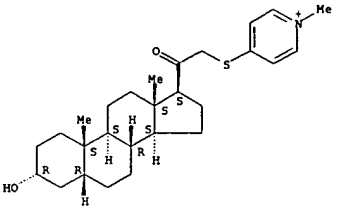
Absolute stereochemistry.

L5 ANSWER 5 OF 11 CAPLUS COPYRIGHT 2002 ACS (Continued)



RN 186264-36-8 CAPLUS
CN Pyridinium, 4-[[(3.alpha.,5.beta.)-3-hydroxy-20-oxopregnan-21-yl]thio]-1-methyl-, iodide (9CI) (CA INDEX NAME)

Absolute stereochemistry.

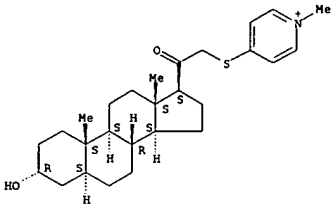


● I⁻

RN 186264-37-9 CAPLUS
CN Pyridinium, 4-[[(3.alpha.,5.alpha.)-3-hydroxy-20-oxopregnan-21-yl]thio]-1-methyl-, iodide (9CI) (CA INDEX NAME)

Absolute stereochemistry.

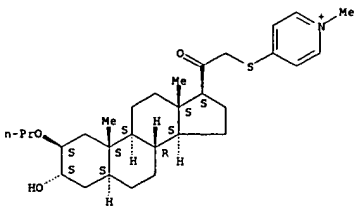
L5 ANSWER 5 OF 11 CAPLUS COPYRIGHT 2002 ACS (Continued)



● I⁻

RN 186264-38-0 CAPLUS
CN Pyridinium, 4-[[(2.beta.,3.alpha.,5.alpha.)-3-hydroxy-20-oxo-2-propoxypregnan-21-yl]thio]-1-methyl-, iodide (9CI) (CA INDEX NAME)

Absolute stereochemistry.

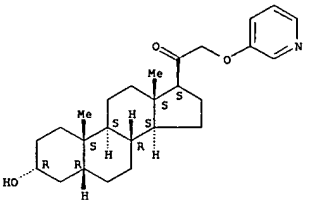


● I⁻

RN 186264-54-0 CAPLUS
CN Pregnan-20-one, 3-hydroxy-21-(3-pyridinyloxy)-, (3.alpha.,5.beta.)- (9CI) (CA INDEX NAME)

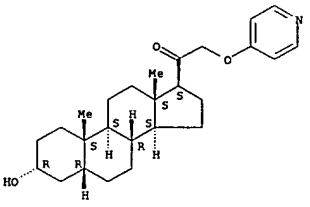
Absolute stereochemistry.

L5 ANSWER 5 OF 11 CAPLUS COPYRIGHT 2002 ACS (Continued)



RN 186264-61-9 CAPLUS
CN Pregnan-20-one, 3-hydroxy-21-(4-pyridinyloxy)-, (3.alpha.,5.beta.)- (9CI) (CA INDEX NAME)

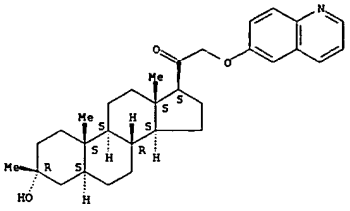
Absolute stereochemistry.



RN 186264-63-1 CAPLUS
CN Pregnan-20-one, 3-hydroxy-3-methyl-21-[(6-quinolinyl)oxy]-, (3.alpha.,5.alpha.)- (9CI) (CA INDEX NAME)

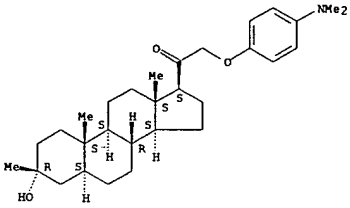
Absolute stereochemistry.

L5 ANSWER 5 OF 11 CAPLUS COPYRIGHT 2002 ACS (Continued)



RN 186264-64-2 CAPLUS
CN Pregnan-20-one, 21-[4-(dimethylamino)phenoxy]-3-hydroxy-3-methyl-, (3.alpha.,5.alpha.)- (9CI) (CA INDEX NAME)

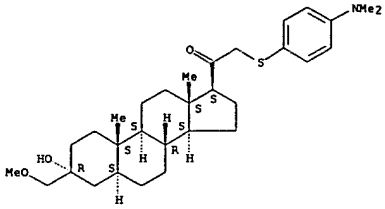
Absolute stereochemistry.



RN 186264-65-3 CAPLUS
CN Pregnan-20-one, 21-[[4-(dimethylamino)phenyl]thio]-3-hydroxy-3-(methoxymethyl)-, (3.alpha.,5.alpha.)- (9CI) (CA INDEX NAME)

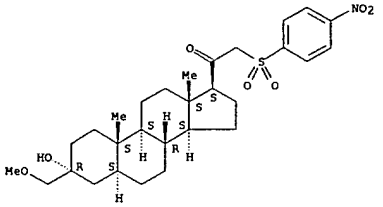
Absolute stereochemistry.

L5 ANSWER 5 OF 11 CAPLUS COPYRIGHT 2002 ACS (Continued)



RN 186264-66-4 CAPLUS
CN Pregnan-20-one, 3-hydroxy-3-(methoxymethyl)-21-[(4-nitrophenyl)sulfonyl]-, (3.alpha.,5.alpha.)- (9CI) (CA INDEX NAME)

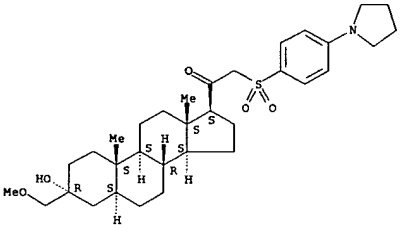
Absolute stereochemistry.



RN 186264-67-5 CAPLUS
CN Pregnan-20-one, 3-hydroxy-3-(methoxymethyl)-21-[[4-(1-pyrrolidinyl)phenyl]sulfonyl]-, (3.alpha.,5.alpha.)- (9CI) (CA INDEX NAME)

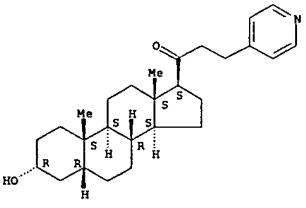
Absolute stereochemistry.

L5 ANSWER 5 OF 11 CAPLUS COPYRIGHT 2002 ACS (Continued)



RN 186264-69-7 CAPLUS
CN 1-Propanone, 1-[(3.alpha.,5.beta.,17.beta.)-3-hydroxyandrostan-17-yl]-3-(4-pyridinyl)- (9CI) (CA INDEX NAME)

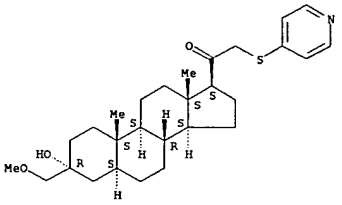
Absolute stereochemistry.



RN 186264-79-9 CAPLUS
CN Pregnan-20-one, 3-hydroxy-3-(methoxymethyl)-21-(4-pyridinylthio)-, (3.alpha.,5.alpha.)- (9CI) (CA INDEX NAME)

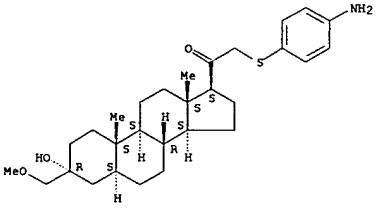
Absolute stereochemistry.

L5 ANSWER 5 OF 11 CAPLUS COPYRIGHT 2002 ACS (Continued)



RN 186264-81-3 CAPLUS
CN Pregnan-20-one, 21-[(4-aminophenyl)thio]-3-hydroxy-3-(methoxymethyl)-, (3.alpha.,5.alpha.)- (9CI) (CA INDEX NAME)

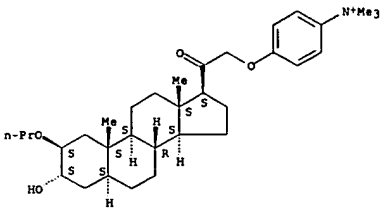
Absolute stereochemistry.



RN 186264-82-4 CAPLUS
CN Benzenaminium, 4-[[(2.beta.,3.alpha.,5.alpha.)-3-hydroxy-20-oxo-2-propoxypregnan-21-yl]oxy]-N,N,N-trimethyl-, iodide (9CI) (CA INDEX NAME)

Absolute stereochemistry.

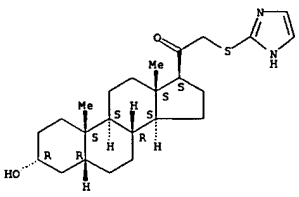
L5 ANSWER 5 OF 11 CAPLUS COPYRIGHT 2002 ACS (Continued)



● I⁻

RN 186264-84-6 CAPLUS
CN Pregnan-20-one, 3-hydroxy-21-(1H-imidazol-2-ylthio)-, (3.alpha.,5.beta.)- (9CI) (CA INDEX NAME)

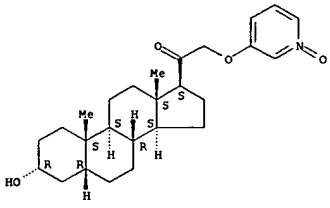
Absolute stereochemistry.



RN 186264-85-7 CAPLUS
CN Pregnan-20-one, 3-hydroxy-21-(4-pyridinylsulfinyl)-, (3.alpha.,5.beta.)- (9CI) (CA INDEX NAME)

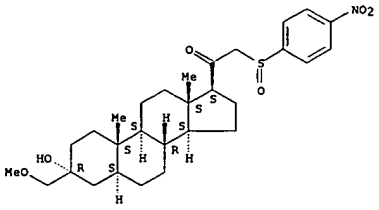
Absolute stereochemistry.

L5 ANSWER 5 OF 11 CAPLUS COPYRIGHT 2002 ACS (Continued)



RN 186265-72-5 CAPLUS
CN Pregnan-20-one, 3-hydroxy-3-(methoxymethyl)-21-[(4-nitrophenyl)sulfinyl]-, (3.alpha.,5.alpha.)- (9CI) (CA INDEX NAME)

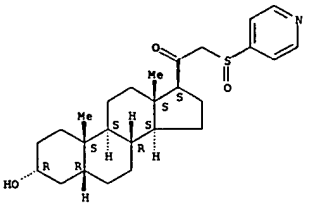
Absolute stereochemistry.



RN 186265-73-6 CAPLUS
CN Benzenaminium, 4-[[(3.alpha.,5.alpha.)-3-hydroxy-3-methyl-20-oxopregnan-21-yl]oxy]-N,N,N-trimethyl-, iodide (9CI) (CA INDEX NAME)

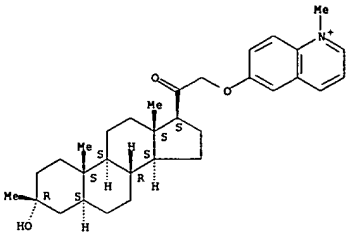
Absolute stereochemistry.

L5 ANSWER 5 OF 11 CAPLUS COPYRIGHT 2002 ACS (Continued)



RN 186264-86-8 CAPLUS
CN Quinolinium, 6-[[(3.alpha.,5.alpha.)-3-hydroxy-3-methyl-20-oxopregnan-21-yl]oxy]-1-methyl-, iodide (9CI) (CA INDEX NAME)

Absolute stereochemistry.

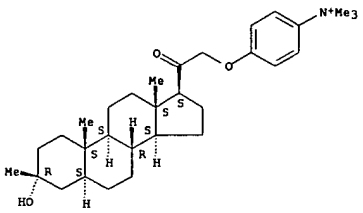


● I⁻

RN 186264-87-9 CAPLUS
CN Pregnan-20-one, 3-hydroxy-21-[(1-oxido-3-pyridinyl)oxy]-, (3.alpha.,5.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L5 ANSWER 5 OF 11 CAPLUS COPYRIGHT 2002 ACS (Continued)



● I⁻

L5 ANSWER 6 OF 11 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1995:858705 CAPLUS
DOCUMENT NUMBER: 123:266118
TITLE: Codrugs as a method of controlled drug delivery
INVENTOR(S): Ashton, Paul; Crooks, Peter Anthony; Riggs, Robert Mack; Cynkowski, Tadeusz; Cynkowska, Grazyna
PATENT ASSIGNEE(S): University of Kentucky Research Foundation, USA
SOURCE: PCT Int. Appl., 57 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 6
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9520567	A1	19950803	WO 1994-US1659	19940217
W: AU, CA, JP				
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
CA 2182228	AA	19950803	CA 1994-2182228	19940217
AU 9462545	A1	19950815	AU 1994-62545	19940217
AU 705226	B2	19990520		
EP 740650	A1	19961106	EP 1994-909643	19940217
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE				
JP 09509151	T2	19970916	JP 1994-520023	19940217
US 6051576	A	20000418	US 1997-791071	19970129

PRIORITY APPLN. INFO.: US 1994-187462 19940128
WO 1994-US1659 19940217
US 1995-388855 19950215

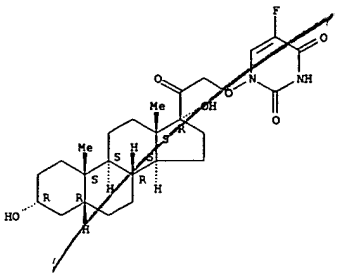
AB A codrug compn. of at least two drug compds. covalently linked to one another via a labile bond to form a single codrug compn., and methods of use of the codrug for the treatment of various medical conditions are disclosed. The codrug may be administered by itself or as a bioerodible or nonbioerodible dosage form, such as injection, liposome, suspension, microsphere, nanoparticle, ointment, transdermal patch, etc.

IT **169046-79-1P**
RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(codrug compns. for controlled drug delivery)

RN 169046-79-1 CAPLUS
CN 2,4(1H,3H)-Pyrimidinedione, 1-[[(3.alpha.,5.beta.)-3,17-dihydroxy-20-oxopregnan-21-yl]oxy]-5-fluoro- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L5 ANSWER 6 OF 11 CAPLUS COPYRIGHT 2002 ACS (Continued)



L5 ANSWER 7 OF 11 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1995:538364 CAPLUS
DOCUMENT NUMBER: 122:291311
TITLE: Preparation and formulation of 3.alpha.-hydroxypregnanes and analogs as sedatives and hypnotics
INVENTOR(S): Gee, Kelvin W.; Lan, Nancy Tsai-Yun
PATENT ASSIGNEE(S): Cocensys, Inc., USA
SOURCE: PCT Int. Appl., 152 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9427608	A1	19941208	WO 1994-US5820	19940524
W: AU, CA, JP, KR, NO				
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
CA 2163748	AA	19941208	CA 1994-2163748	19940523
JP 08511771	T2	19961210	JP 1994-500892	19940523
AU 9469883	A1	19941220	AU 1994-69883	19940524
EP 701444	A1	19960320	EP 1994-918659	19940524
R: DE, FR, GB				
EP 1038880	A2	20000927	EP 2000-200119	19950214
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE				
US 5939545	A	19990817	US 1997-887229	19970702
US 6143736	A	20001107	US 1999-349902	19990708
US 6277838	B1	20010821	US 2000-547041	20000411

PRIORITY APPLN. INFO.: US 1993-68378 A 19930524
US 1994-196919 A 19940214
US 1994-196972 A 19940214
US 1994-246275 A 19940519
WO 1994-US5820 W 19940523
US 1994-346927 A 19941123
EP 1995-913478 A3 19950214
US 1995-389820 B1 19950214
US 1997-887229 A3 19970702
US 1999-349902 A3 19990708

OTHER SOURCE(S): MARPAT 122:291311

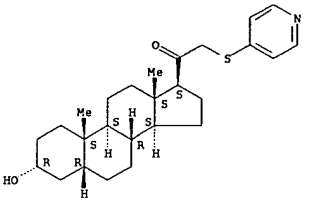
AB Title compds. [e.g.I; R = H, (halo)alkyl, alkenyl, alkynyl, aryl(alkyl), etc.; R1 = H or Me; R2 = cyano, CH2OH, COMe, C.tplbond.CH, etc.; R3 = H: R2R3 = CH2, CHOMe, CHMe, CHEt], for interaction with the GABAA receptor complex to induce sleep in humans, were prepd. Thus, 5.alpha.-pregnane-3,20-dione 20-ketal was converted in 2 steps to title compd. II (R = C.tplbond.CH) which was condensed with 4-IC6H4COMe to give II [R = 4-(MeCO)C6H4C.tplbond.C] which had IC50 of 5nM against TBPS binding at rat cerebral cortex prepn. in vitro.

IT **162883-05-8P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. and formulation of 3.alpha.-hydroxypregnanes and analogs as sedatives and hypnotics)

RN 162883-05-8 CAPLUS
CN Pregnan-20-one, 3-hydroxy-21-(4-pyridinylthio)-, (3.alpha.,5.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L5 ANSWER 7 OF 11 CAPLUS COPYRIGHT 2002 ACS (Continued)



L5 ANSWER 8 OF 11 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1976:478264 CAPLUS
DOCUMENT NUMBER: 85:78264
TITLE: 21-Substituted pregnanes
INVENTOR(S): Phillipps, Gordon H.; Lawrence, Robin; Newall, Christopher E.; Wright, Michael
PATENT ASSIGNEE(S): Glaxo Laboratories Ltd., UK
SOURCE: Brit., 21 pp.
CODEN: BRXXAA
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
GB 1432135	A	19760414	GB 1972-21145	19730504
BE 799103	A1	19731105	BE 1973-130750	19730504
NL 7306233	A	19731107	NL 1973-6233	19730504
DE 2322560	A1	19731115	DE 1973-2322560	19730504
FR 2183794	A1	19731221	FR 1973-16111	19730504
ZA 7303036	A	19740424	ZA 1973-3036	19730504
JP 49047361	A2	19740508	JP 1973-50184	19730504
AU 7355285	A1	19741107	AU 1973-55285	19730504
US 3959260	A	19760525	US 1974-488989	19740716

PRIORITY APPLN. INFO.: GB 1972-21145 19720505
US 1973-356097 19730501

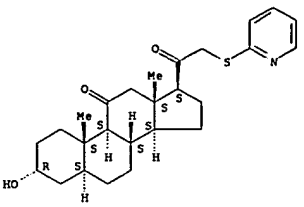
AB Forty title compds. I (R = H, Me, alkoxy; R1, R2 = H, Me; R32 = O, R3 = H; R4 = acylthio, heterocycle-substituted acylthio, alkylthio, heterocycle-substituted alkylthio, EtSO2, EtSO, NCS, HS, BuS2CS, morpholinocarbodithio, morpholinoethoxythiocarbonylthio) and 2 related compds., useful as anesthetics, were prepd. from 21-bromo steroids by condensation reactions. Thus, refluxing 21-bromo-3.alpha.-hydroxy-5.alpha.-pregnane-11,20-dione with BzSNa in Me2CO 40 min gave I (R = R1 = H, R2 = Me, R32 = O, R4 = BzS). Solns. of I in aq. nonionic surfactants are suitable for parenteral administration, as is a similar soln. of 21-acetylthio-3.alpha.-hydroxy-5.beta.-pregnane -11,20-dione.

IT **51087-29-7P 51087-32-2P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(anesthetic, prepn. of)

RN 51087-29-7 CAPLUS
CN Pregnane-11,20-dione, 3-hydroxy-21-(2-pyridinylthio)-, (3.alpha.,5.alpha.)- (9CI) (CA INDEX NAME)

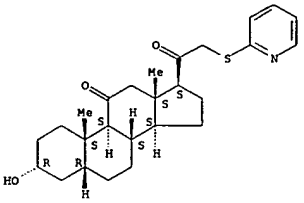
Absolute stereochemistry.

L5 ANSWER 8 OF 11 CAPLUS COPYRIGHT 2002 ACS (Continued)



RN 51087-32-2 CAPLUS
CN Pregnane-11,20-dione, 3-hydroxy-21-(2-pyridinylthio)-, (3.alpha.,5.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L5 ANSWER 9 OF 11 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1974:60089 CAPLUS
DOCUMENT NUMBER: 80:60089
TITLE: 3.alpha.-Hydroxy- 5.alpha.-pregnanes
INVENTOR(S): Phillips, Gordon Hanley; Lawrence, Robin; Stephenson, Leslie; Ayres, Barry E.
PATENT ASSIGNEE(S): Glaxo Laboratories Ltd.
SOURCE: Ger. Offen., 75 pp.
CODEN: GWXXBX
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 2323950	A1	19731213	DE 1973-2323950	19730511
US 3998829	A	19761221	US 1973-358381	19730508
BE 799433	A1	19731112	BE 1973-131031	19730511
NL 7306606	A	19731114	NL 1973-6606	19730511
FR 2184711	A1	19731228	FR 1973-17079	19730511
JP 49048652	A2	19740511	JP 1973-52424	19730511
ZA 7303218	A	19740529	ZA 1973-3218	19730511
AU 7355616	A1	19741114	AU 1973-55616	19730511
GB 1436324	A	19760519	GB 1972-22489	19730511
DK 135775	B	19770620	DK 1973-2604	19730511
CA 1014947	A1	19770802	CA 1973-171026	19730511

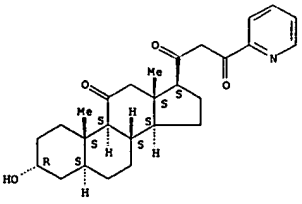
PRIORITY APPLN. INFO.: GB 1972-22489 19720512

AB Hydroxypregnanes I, II (R = H, alkyl, acyl; R1 = H; RR1 = CH2, CH2CH2, O; R2 = H, R3 = alkoxy, alkylthio, acyl, acyloxy, acylthio, morpholino, halo, Me; R2 = R3 = Me) (45 compds.) were prepd. from I and II (R = R1 = H; R2R3 = CH2; R2 = H, R3 = CH2OH) by Claisen condensation with active methylene compds. Thus, 1 g I (R = R1 = H) was treated with AcOEt-NaH to give 0.5 g I (R1 = Ac).

IT **51227-92-0P 51228-32-1P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)

RN 51227-92-0 CAPLUS
CN 1,3-Propanedione, 1-[(3.alpha.,5.alpha.,17.beta.)-3-hydroxy-11-oxoandrostan-17-yl]-3-(2-pyridinyl)- (9CI) (CA INDEX NAME)

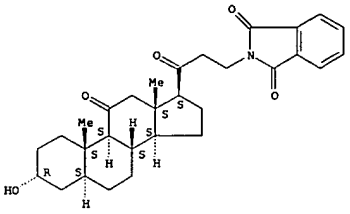
Absolute stereochemistry.



RN 51228-32-1 CAPLUS
CN 1H-Isoindole-1,3(2H)-dione, 2-[3-[(3.alpha.,5.alpha.,17.beta.)-3-hydroxy-

L5 ANSWER 9 OF 11 CAPLUS COPYRIGHT 2002 ACS (Continued)
11-oxoandrostan-17-yl]-3-oxopropyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L5 ANSWER 10 OF 11 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1974:37397 CAPLUS
DOCUMENT NUMBER: 80:37397
TITLE: Pregnane derivatives
INVENTOR(S): Phillips, Gordon Hanley; Lawrence, Robin; Newall, Christopher E.; Wright, Michael
PATENT ASSIGNEE(S): Glaxo Laboratories Ltd.
SOURCE: Ger. Offen., 58 pp.
CODEN: GWXXBX
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 2322560	A1	19731115	DE 1973-2322560	19730504
GB 1432135	A	19760414	GB 1972-21145	19730504

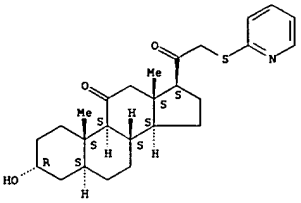
PRIORITY APPLN. INFO.: GB 1972-21145 19720505

AB Pregnanediones I-VIII (R = alkyl, aryl, morpholinoalkyl, piperidinoalkyl) (45 compds.), possessing anesthetic activity, were prepd. from 21-bromo-3.alpha.-hydroxy-5.alpha.-pregnane-11,20-dione (II). Thus, II was treated with EtSH to give VII (R = ET). I-VI and VIII were prepd. similarly.

IT **51087-29-7P 51087-32-2P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)

RN 51087-29-7 CAPLUS
CN Pregnane-11,20-dione, 3-hydroxy-21-(2-pyridinylthio)-, (3.alpha.,5.alpha.)- (9CI) (CA INDEX NAME)

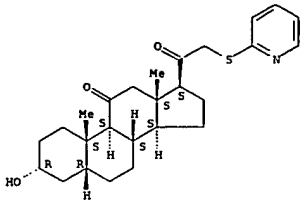
Absolute stereochemistry.



RN 51087-32-2 CAPLUS
CN Pregnane-11,20-dione, 3-hydroxy-21-(2-pyridinylthio)-, (3.alpha.,5.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L5 ANSWER 10 OF 11 CAPLUS COPYRIGHT 2002 ACS (Continued)



L5 ANSWER 11 OF 11 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1972:514701 CAPLUS
DOCUMENT NUMBER: 77:114701
TITLE: 3.alpha.-Hydroxy-5.alpha.-pregnane-11,20-diones
INVENTOR(S): Phillipps, Gordon Hanley; Newall, Christopher Earle
PATENT ASSIGNEE(S): Glaxo Laboratories Ltd.
SOURCE: Ger. Offen., 45 pp.
CODEN: GWXXBX
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 2162594	A	19720706	DE 1971-2162594	19711216
NL 7117249	A	19720620	NL 1971-17249	19711216
FR 2118118	A5	19720728	FR 1971-45226	19711216
FR 2118118	B1	19751128		
US 3882151	A	19750506	US 1971-208961	19711216
CA 995662	A1	19760824	CA 1971-130271	19711216
US 3969345	A	19760713	US 1975-551315	19750220

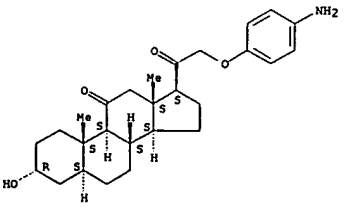
PRIORITY APPLN. INFO.: GB 1970-60065 19701217
US 1971-208961 19711216

AB Twenty title compds. [I, R = H2, O; R1 = H, Ac, O2N; R2 = H, Me; R3 = H, .beta.-MeO, .alpha.-OH, R4 = OMe, OEt, OCHMe2, O(CH2)2OMe, OC6H4NH2-p, O(CH2)2Cl, 2-morpholinoethoxy, 2-(4-methylpiperazinyl)ethoxy, O(CH2)2CN, O(CH2)2CO2Et, O(CH2)2Cl, O(CH2)3Cl, OCH2Ph, cyclohexyloxy], useful was anesthetics, were prepd. by methylation of the corresponding 21-diazo compd. Thus, 21 -diazo-3.beta.-nitrato-5.alpha.-pregnane-11,20-dione in dry CH2Cl2-MeOH was refluxed with 14% BF3.MeOH 25 min to give I (R = O, R1 = NO2, R2 = R3 = H, R4 = OMe), which was stirred with Zn powder in HOAc 1.5 hr to give I (R = O, R1 = R2 = R3 = H, R4 = OMe).

IT **38601-34-2P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)

RN 38601-34-2 CAPLUS
CN Pregnane-11,20-dione, 21-(4-aminophenoxy)-3-hydroxy-, (3.alpha.,5.alpha.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



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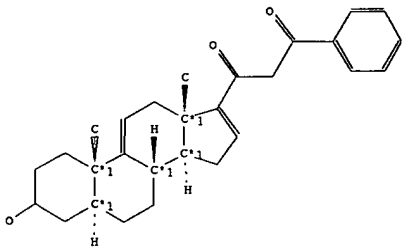
L6 ANSWER 1 OF 7 BEILSTEIN COPYRIGHT 2002 BEILSTEIN CDS MDL

Beilstein Records (BRN):	5162652
Chemical Name (CN):	1-(3-hydroxy-10,13-dimethyl-2,3,4,5,6,7,8,10,12,13,14,15-dodecahydro-1H-cyclopenta<a>phenanthren-17-yl)-3-phenyl-propane-1,3-dione
Autonom Name (AUN):	1-(3-hydroxy-10,13-dimethyl-2,3,4,5,6,7,8,10,12,13,14,15-dodecahydro-1H-cyclopenta<a>phenanthren-17-yl)-3-phenyl-propane-1,3-dione
Molec. Formula (MF):	C28 H34 O3
Molecular Weight (MW):	418.57
Lawson Number (LN):	9551
File Segment (FS):	Stereo compound
Compound Type (CTYPE):	isocyclic
Constitution ID (CONSID):	4601517
Tautomer ID (TAUTID):	4931946
Beilstein Citation (BSO):	6-08
Entry Date (DED):	1992/08/28
Update Date (DUPD):	1992/08/28



Atom/Bond Notes:
1. CIP Descriptor: S

Field Availability:

Code	Name	Occurrence
BRN	Beilstein Records	1
CN	Chemical Name	1
AUN	Autonomname	1
LSF	Linearized Structure Formula	1
MF	Molecular Formula	1

L6 ANSWER 1 OF 7 BEILSTEIN COPYRIGHT 2002 BEILSTEIN CDS MDL (Continued)

FW	Formular Weight	1
LN	Lawson Number	1
FS	File Segment	1
CTYPE	Compound Type	1
CONSID	Constitution ID	1
TAUTID	Tautomer ID	1
BSO	Beilstein Citation	1
ED	Entry Date	1
UPD	Update Date	1

This substance also occurs in Reaction Documents:

Code	Name	Occurrence
RX	Reaction Documents	1
RXPRO	Substance is Reaction Product	1

Reaction:
RX

Reaction ID (.ID):	2686057
Reactant BRN (.RBRN):	5075106, 471223
Reactant (.RCT):	3.beta.-hydroxy-5.alpha.-pregna-9(11),16-dien-20-one, benzaldehyde
Product BRN (.PBRN):	5162652
Product (.PRO):	1-(3-hydroxy-10,13-dimethyl-2,3,4,5,6,7,8,10,12,13,14,15-dodecahydro-1H-cyclopenta<a>phenanthren-17-yl)-3-phenyl-propane-1,3-dione
No. of React. Details (.NVAR):	1

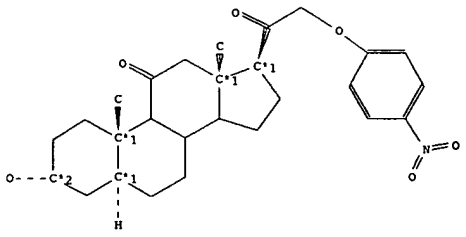
Reaction Details:
RX

Reaction RID (.RID):	2686057.1
Reaction Classification (.CL):	Preparation
Reagent (.RGT):	potassium t-butoxide
Solvent (.SOL):	2-methyl-propan-2-ol
Other Conditions (.COND):	1.) 40 deg C, 2.) RT, 1 h

Reference(s):
1. Cairns, James; Logan, Robert T.; McGarry, George; Roy, Robert G.; Stevenson, Donald F. M.; Woods, Gilbert F., J.Chem.Soc.Perkin Trans.1, CODEN: JCPRB4, <1981>, 2306-2316; BABS-5626835

L6 ANSWER 2 OF 7 BEILSTEIN COPYRIGHT 2002 BEILSTEIN CDS MDL

Beilstein Records (BRN):	2493459
Chemical Name (CN):	3-hydroxy-10,13-dimethyl-17-<(4-nitro-phenoxy)-acetyl>-hexadecahydro-cyclopenta<a>phenanthren-11-one
Autonom Name (AUN):	3-hydroxy-10,13-dimethyl-17-<(4-nitro-phenoxy)-acetyl>-hexadecahydro-cyclopenta<a>phenanthren-11-one
Molec. Formula (MF):	C27 H35 N O6
Molecular Weight (MW):	469.58
Lawson Number (LN):	9769, 5220
File Segment (FS):	Stereo compound
Compound Type (CTYPE):	isocyclic
Constitution ID (CONSID):	2271770
Tautomer ID (TAUTID):	2399728
Beilstein Citation (BSO):	5-08
Entry Date (DED):	1989/07/05
Update Date (DUPD):	1989/07/05



Atom/Bond Notes:
1. CIP Descriptor: S
2. CIP Descriptor: R

Field Availability:

Code	Name	Occurrence
BRN	Beilstein Records	1
CN	Chemical Name	1
AUN	Autonomname	1
MF	Molecular Formula	1
FW	Formular Weight	1
LN	Lawson Number	2
FS	File Segment	1
CTYPE	Compound Type	1
CONSID	Constitution ID	1
TAUTID	Tautomer ID	1
BSO	Beilstein Citation	1

L6 ANSWER 2 OF 7 BEILSTEIN COPYRIGHT 2002 BEILSTEIN CDS MDL (Continued)

ED	Entry Date	1
UPD	Update Date	1
MP	Melting Point	1
ORP	Optical Rotatory Power	1

This substance also occurs in Reaction Documents:

Code	Name	Occurrence
RX	Reaction Documents	1
RXPRO	Substance is Reaction Product	1

Melting Point:

Value (MP) (Cel)	Ref.
186 - 187	1

Reference(s):
1. Patent: Glaxo Lab. DE 2162594 1971, Chem.Abstr., 77(114701)

Optical Rotatory Power:

Value (ORP) (deg)	Type (.TYP)	Wavelen. (.W) (nm)	Ref.
58.5	[alpha]	589	1

Reference(s):
1. Patent: Glaxo Lab. DE 2162594 1971, Chem.Abstr., 77(114701)

Reaction:
RX

Reaction ID (.ID):	7621258
Product BRN (.PBRN):	2493459
Product (.PRO):	3-hydroxy-10,13-dimethyl-17-<(4-nitro-phenoxy)-acetyl>-hexadecahydro-cyclopenta<a>phenanthren-11-one
No. of React. Details (.NVAR):	1

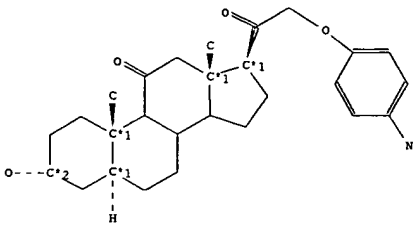
Reaction Details:
RX

Reaction RID (.RID):	7621258.1
Reaction Classification (.CL):	Preparation (half reaction)

Reference(s):
1. Patent: Glaxo Lab. DE 2162594 1971, Chem.Abstr., 77(114701)

L6 ANSWER 3 OF 7 BEILSTEIN COPYRIGHT 2002 BEILSTEIN CDS MDL

Beilstein Records (BRN):	2491730
Beilstein Pref. RN (BPR):	38601-34-2
CAS Reg. No. (RN):	38601-34-2
Chemical Name (CN):	17-<(4-amino-phenoxy)-acetyl>-3-hydroxy-10,13-dimethyl-hexadecahydro-cyclopenta<a>phenanthren-11-one
Autonom Name (AUN):	17-<(4-amino-phenoxy)-acetyl>-3-hydroxy-10,13-dimethyl-hexadecahydro-cyclopenta<a>phenanthren-11-one
Molec. Formula (MF):	C27 H37 N O4
Molecular Weight (MW):	439.59
Lawson Number (LN):	14892, 9769
File Segment (FS):	Stereo compound
Compound Type (CTYPE):	isocyclic
Constitution ID (CONSID):	2271561
Tautomer ID (TAUTID):	2403187
Beilstein Citation (BSO):	5-13
Entry Date (DED):	1989/07/05
Update Date (DUPD):	1989/07/05



Atom/Bond Notes:
1. CIP Descriptor: S
2. CIP Descriptor: R

Field Availability:

Code	Name	Occurrence
BRN	Beilstein Records	1
BPR	Beilstein Preferred RN	1
RN	CAS Registry Number	1
CN	Chemical Name	1
AUN	Autonomname	1
MF	Molecular Formula	1
FW	Formular Weight	1

L6 ANSWER 3 OF 7 BEILSTEIN COPYRIGHT 2002 BEILSTEIN CDS MDL (Continued)

LN	Lawson Number	2
FS	File Segment	1
CTYPE	Compound Type	1
CONSID	Constitution ID	1
TAUTID	Tautomer ID	1
BSO	Beilstein Citation	1
ED	Entry Date	1
UPD	Update Date	1
MP	Melting Point	1
ORP	Optical Rotatory Power	1

This substance also occurs in Reaction Documents:

Code	Name	Occurrence
RX	Reaction Documents	1
RXPRO	Substance is Reaction Product	1

Melting Point:

Value (MP) (Cel)	Ref.
179 - 180	1

Reference(s):
1. Patent: Glaxo Lab. DE 2162594 1971, Chem.Abstr., 77(114701)

Optical Rotatory Power:

Value (ORP) (deg)	Type (.TYP)	Wavelen. (.W) (nm)	Ref.
42.5	[alpha]	589	1

Reference(s):
1. Patent: Glaxo Lab. DE 2162594 1971, Chem.Abstr., 77(114701)

Reaction:
RX

Reaction ID (.ID):	7620422
Product BRN (.PBRN):	2491730
Product (.PRO):	17-<(4-amino-phenoxy)-acetyl>-3-hydroxy-10,13-dimethyl-hexadecahydro-cyclopenta<a>phenanthren-11-one
No. of React. Details (.NVAR):	1

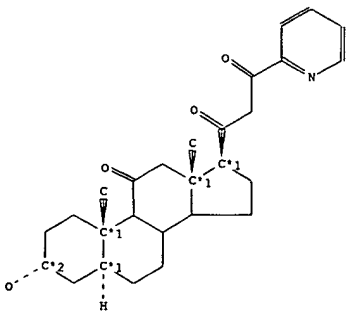
Reaction Details:
RX

Reaction RID (.RID):	7620422.1

L6 ANSWER 3 OF 7 BEILSTEIN COPYRIGHT 2002 BEILSTEIN CDS MDL (Continued)
Reaction Classification (.CL): Preparation (half reaction)
Reference(s):
1. Patent: Glaxo Lab. DE 2162594 1971, Chem.Abstr., 77(114701)

L6 ANSWER 4 OF 7 BEILSTEIN COPYRIGHT 2002 BEILSTEIN CDS MDL

Beilstein Records (BRN):	1609851
Beilstein Pref. RN (BPR):	51227-92-0
CAS Reg. No. (RN):	51227-92-0
Chemical Name (CN):	1-(3-hydroxy-10,13-dimethyl-11-oxo-hexadecahydro-cyclopenta<a>phenanthren-17-yl)-3-pyridin-2-yl-propane-1,3-dione
Autonom Name (AUN):	1-(3-hydroxy-10,13-dimethyl-11-oxo-hexadecahydro-cyclopenta<a>phenanthren-17-yl)-3-pyridin-2-yl-propane-1,3-dione
Molec. Formula (MF):	C27 H35 N O4
Molecular Weight (MW):	437.58
Lawson Number (LN):	26223
File Segment (FS):	Stereo compound
Compound Type (CTYPE):	heterocyclic
Constitution ID (CONSID):	1549260
Tautomer ID (TAUTID):	1623273
Beilstein Citation (BSO):	5-21
Entry Date (DED):	1988/11/30
Update Date (DUPD):	1988/12/08



Atom/Bond Notes:
1. CIP Descriptor: S
2. CIP Descriptor: R

Field Availability:

Code	Name	Occurrence
BRN	Beilstein Records	1
BPR	Beilstein Preferred RN	1
RN	CAS Registry Number	1
CN	Chemical Name	1
AUN	Autonomname	1

L6 ANSWER 4 OF 7 BEILSTEIN COPYRIGHT 2002 BEILSTEIN CDS MDL (Continued)

MF	Molecular Formula	1
FW	Formular Weight	1
LN	Lawson Number	1
FS	File Segment	1
CTYPE	Compound Type	1
CONSID	Constitution ID	1
TAUTID	Tautomer ID	1
BSO	Beilstein Citation	1
ED	Entry Date	1
UPD	Update Date	1
MP	Melting Point	1
ORP	Optical Rotatory Power	1
UVS	UV and Visible Spectrum	1

This substance also occurs in Reaction Documents:

Code	Name	Occurrence
RX	Reaction Documents	1
RXPRO	Substance is Reaction Product	1

Melting Point:

Value (MP) (Cel)	Ref.
179 - 180	1

Reference(s):
1. Patent: Glaxo Lab. Ltd. DE 2323950 1973, Chem.Abstr., 80(60089)

Optical Rotatory Power:

Value (ORP) (deg)	Type (.TYP)	Wavelen. (.W) (nm)	Ref.
21.4	[alpha]	589	1

Reference(s):
1. Patent: Glaxo Lab. Ltd. DE 2323950 1973, Chem.Abstr., 80(60089)

UV and Visible Spectrum:

Description (.KW)	Ref.
UV/VIS	1

Reference(s):

L6 ANSWER 4 OF 7 BEILSTEIN COPYRIGHT 2002 BEILSTEIN CDS MDL (Continued)
1. Patent: Glaxo Lab. Ltd. DE 2323950 1973, Chem.Abstr., 80(60089)

Reaction:
RX

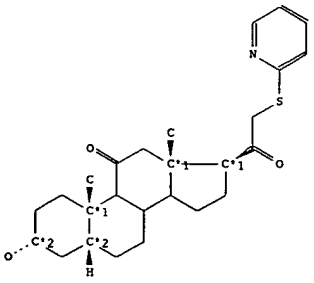
Reaction ID (.ID):	6850433
Product BRN (.PBRN):	1609851
Product (.PRO):	1-(3-hydroxy-10,13-dimethyl-11-oxo-hexadecahydro-cyclopenta<a>phenanthren-17-yl)-3-pyridin-2-yl-propane-1,3-dione
No. of React. Details (.NVAR):	1

Reaction Details:
RX

Reaction RID (.RID):	6850433.1
Reaction Classification (.CL):	Preparation (half reaction)
Reference(s):	
1. Patent: Glaxo Lab. Ltd. DE 2323950 1973, Chem.Abstr., 80(60089)	

L6 ANSWER 5 OF 7 BEILSTEIN COPYRIGHT 2002 BEILSTEIN CDS MDL

Beilstein Records (BRN):	1557758
CAS Reg. No. (RN):	51087-29-7, 51087-32-2
Chemical Name (CN):	3-hydroxy-10,13-dimethyl-17-<(pyridin-2-ylsulfanyl)-acetyl>-hexadecahydro-cyclopenta<a>phenanthren-11-one
Autonom Name (AUN):	3-hydroxy-10,13-dimethyl-17-<(pyridin-2-ylsulfanyl)-acetyl>-hexadecahydro-cyclopenta<a>phenanthren-11-one
Molec. Formula (MF):	C26 H35 N O3 S
Molecular Weight (MW):	441.63
Lawson Number (LN):	24773, 9772
File Segment (FS):	Stereo compound
Compound Type (CTYPE):	heterocyclic
Constitution ID (CONSID):	1476023
Tautomer ID (TAUTID):	1534872
Beilstein Citation (BSO):	5-21
Entry Date (DED):	1988/11/30
Update Date (DUPD):	1988/12/08



Atom/Bond Notes:
1. CIP Descriptor: S
2. CIP Descriptor: R

Field Availability:

Code	Name	Occurrence
BRN	Beilstein Records	1
RN	CAS Registry Number	2
CN	Chemical Name	1
AUN	Autonomname	1
MF	Molecular Formula	1
FW	Formular Weight	1

L6 ANSWER 5 OF 7 BEILSTEIN COPYRIGHT 2002 BEILSTEIN CDS MDL (Continued)

LN	Lawson Number	2
FS	File Segment	1
CTYPE	Compound Type	1
CONSID	Constitution ID	1
TAUTID	Tautomer ID	1
BSO	Beilstein Citation	1
ED	Entry Date	1
UPD	Update Date	1
MP	Melting Point	1
ORP	Optical Rotatory Power	1

This substance also occurs in Reaction Documents:

Code	Name	Occurrence
RX	Reaction Documents	1
RXPRO	Substance is Reaction Product	1

Melting Point:

Value (MP) (Cel)	Ref.
127 - 130	1

Reference(s):
1. Patent: Glaxo Ltd. DE 2322560 1973, Chem.Abstr., 80(37397)

Optical Rotatory Power:

Value (ORP) (deg)	Type (.TYP)	Concentr. (.C)	Solvent (.SOL)	Wavelen. (.W) (nm)	Temp. (.T) (Cel)	Ref.
128	[alpha]	1.0	CHCl3	589	20	1

Reference(s):
1. Patent: Glaxo Ltd. DE 2322560 1973, Chem.Abstr., 80(37397)

Reaction:
RX

Reaction ID (.ID):	6810957
Product BRN (.PBRN):	1557758
Product (.PRO):	3-hydroxy-10,13-dimethyl-17-<(pyridin-2-ylsulfanyl)-acetyl>-hexadecahydro-cyclopenta<a>phenanthren-11-one
No. of React. Details (.NVAR):	1

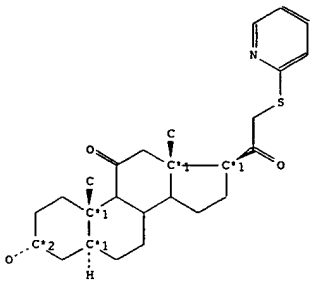
Reaction Details:
RX

Reaction RID (.RID):	6810957.1
Reaction Classification (.CL):	Preparation (half reaction)
Reference(s):	
1. Patent: Glaxo Ltd. DE 2322560 1973, Chem.Abstr., 80(37397)	

L6 ANSWER 5 OF 7 BEILSTEIN COPYRIGHT 2002 BEILSTEIN CDS MDL (Continued)

L6 ANSWER 6 OF 7 BEILSTEIN COPYRIGHT 2002 BEILSTEIN CDS MDL

Beilstein Records (BRN):	1557757
CAS Reg. No. (RN):	51087-29-7, 51087-32-2
Chemical Name (CN):	3-hydroxy-10,13-dimethyl-17-<(pyridin-2-ylsulfanyl)-acetyl>-hexadecahydro-cyclopenta<a>phenanthren-11-one
Autonom Name (AUN):	3-hydroxy-10,13-dimethyl-17-<(pyridin-2-ylsulfanyl)-acetyl>-hexadecahydro-cyclopenta<a>phenanthren-11-one
Molec. Formula (MF):	C26 H35 N O3 S
Molecular Weight (MW):	441.63
Lawson Number (LN):	24773, 9772
File Segment (FS):	Stereo compound
Compound Type (CTYPE):	heterocyclic
Constitution ID (CONSID):	1476023
Tautomer ID (TAUTID):	1534871
Beilstein Citation (BSO):	5-21
Entry Date (DED):	1988/11/30
Update Date (DUPD):	1988/12/08



Atom/Bond Notes:
1. CIP Descriptor: S
2. CIP Descriptor: R

Field Availability:

Code	Name	Occurrence
BRN	Beilstein Records	1
RN	CAS Registry Number	2

L6 ANSWER 6 OF 7 BEILSTEIN COPYRIGHT 2002 BEILSTEIN CDS MDL (Continued)

CN	Chemical Name	1
AUN	Autonomname	1
MF	Molecular Formula	1
FW	Formular Weight	1
LN	Lawson Number	2
FS	File Segment	1
CTYPE	Compound Type	1
CONSID	Constitution ID	1
TAUTID	Tautomer ID	1
BSO	Beilstein Citation	1
ED	Entry Date	1
UPD	Update Date	1
MP	Melting Point	1
ORP	Optical Rotatory Power	1

This substance also occurs in Reaction Documents:

Code	Name	Occurrence
RX	Reaction Documents	1
RXPRO	Substance is Reaction Product	1

Melting Point:

Value (MP) (Cel)	Ref.
184	1

Reference(s):
1. Patent: Glaxo Ltd. DE 2322560 1973, Chem.Abstr., 80(37397)

Optical Rotatory Power:

Value (ORP) (deg)	Type (.TYP)	Concentr. (.C)	Solvent (.SOL)	Wavelen. (.W) (nm)	Temp. (.T) (Cel)	Ref.
131	[alpha]	0.9	CHCl3	589	20	1

Reference(s):
1. Patent: Glaxo Ltd. DE 2322560 1973, Chem.Abstr., 80(37397)

Reaction:
RX

Reaction ID (.ID):	6810956
Product BRN (.PBRN):	1557757
Product (.PRO):	3-hydroxy-10,13-dimethyl-17-<(pyridin-2-ylsulfanyl)-acetyl>-hexadecahydro-cyclopenta<a>phenanthren-11-one
No. of React. Details (.NVAR):	1

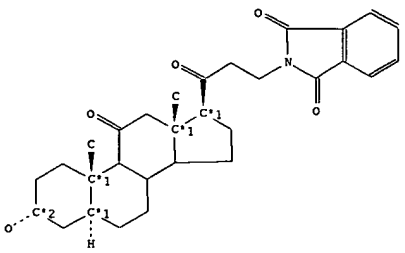
Reaction Details:
RX

Reaction RID (.RID):	6810956.1

L6 ANSWER 6 OF 7 BEILSTEIN COPYRIGHT 2002 BEILSTEIN CDS MDL (Continued)
Reaction Classification (.CL): Preparation (half reaction)
Reference(s):
1. Patent: Glaxo Ltd. DE 2322560 1973, Chem.Abstr., 80(37397)

L6 ANSWER 7 OF 7 BEILSTEIN COPYRIGHT 2002 BEILSTEIN CDS MDL

Beilstein Records (BRN):	1519724
Beilstein Pref. RN (BPR):	51228-32-1
CAS Reg. No. (RN):	51228-32-1
Chemical Name (CN):	2-<3-(3-hydroxy-10,13-dimethyl-11-oxo-hexadecahydro-cyclopenta<a>phenanthren-17-yl)-3-oxo-propyl>-isoindole-1,3-dione
Autonom Name (AUN):	2-<3-(3-hydroxy-10,13-dimethyl-11-oxo-hexadecahydro-cyclopenta<a>phenanthren-17-yl)-3-oxo-propyl>-isoindole-1,3-dione
Molec. Formula (MF):	C30 H37 N O5
Molecular Weight (MW):	491.63
Lawson Number (LN):	25776, 15890
File Segment (FS):	Stereo compound
Compound Type (CTYPE):	heterocyclic
Constitution ID (CONSID):	1481883
Tautomer ID (TAUTID):	1539358
Beilstein Citation (BSO):	5-21
Entry Date (DED):	1988/11/30
Update Date (DUPD):	1988/12/08



Atom/Bond Notes:
1. CIP Descriptor: S
2. CIP Descriptor: R

Field Availability:

Code	Name	Occurrence
BRN	Beilstein Records	1
BPR	Beilstein Preferred RN	1
RN	CAS Registry Number	1
CN	Chemical Name	1
AUN	Autonomname	1
MF	Molecular Formula	1
MW	Molecular Weight	1
LN	Lawson Number	2
FS	File Segment	1
CTYPE	Compound Type	1
CONSID	Constitution ID	1
TAUTID	Tautomer ID	1
BSO	Beilstein Citation	1
ED	Entry Date	1
UPD	Update Date	1
MP	Melting Point	1
ORP	Optical Rotatory Power	1

This substance also occurs in Reaction Documents:

Code	Name	Occurrence
RX	Reaction Documents	1
RXPRO	Substance is Reaction Product	1

Melting Point:

Value (MP) (Cel)	Ref.
187 - 189	1

Reference(s):
1. Patent: Glaxo Lab. Ltd. DE 2323950 1973, Chem.Abstr., 80(60089)

Optical Rotatory Power:

Value (ORP) (deg)	Type (.TYP)	Wavelen. (.W) (nm)	Ref.
58.8	[alpha]	589	1

Reference(s):
1. Patent: Glaxo Lab. Ltd. DE 2323950 1973, Chem.Abstr., 80(60089)

Reaction:
RX

Reaction ID (.ID):	6647974
Product BRN (.PBRN):	1519724
Product (.PRO):	2-<3-(3-hydroxy-10,13-dimethyl-11-oxo-hexadecahydro-cyclopenta<a>phenanthren-17-yl)-3-oxo-propyl>-isoindole-1,3-dione
No. of React. Details (.NVAR):	1

Reaction Details:
RX

L6 ANSWER 7 OF 7 BEILSTEIN COPYRIGHT 2002 BEILSTEIN CDS MDL (Continued)

Reaction RID (.RID):	6647974.1
Reaction Classification (.CL):	Preparation (half reaction)

Reference(s):
1. Patent: Glaxo Lab. Ltd. DE 2323950 1973, Chem.Abstr., 80(60089)

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     FILE 'REGISTRY' ENTERED AT 09:01:46 ON 30 DEC 2002
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L2             1 S L1
L3            48 S L1 FULL

     FILE 'USPATFULL' ENTERED AT 09:02:31 ON 30 DEC 2002
L4             9 S L3

     FILE 'CAPLUS' ENTERED AT 09:07:26 ON 30 DEC 2002
L5            11 S L3

     FILE 'BEILSTEIN' ENTERED AT 09:09:40 ON 30 DEC 2002
L6             7 S L1 FULL

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```

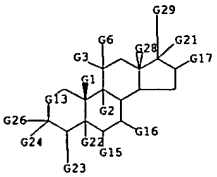
L8 ANSWER 1 OF 11 MARPAT COPYRIGHT 2002 ACS
ACCESSION NUMBER: 136:391016 MARPAT
TITLE: Angiostatic agents combined with other agents for lowering and controlling intraocular pressure
INVENTOR(S): Clark, Abbot F.
PATENT ASSIGNEE(S): Alcon Laboratories, Inc., USA
SOURCE: PCT Int. Appl., 19 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002040030	A1	20020523	WO 2000-US31557	20001116
WO 2002040030	C1	20021107		
W: AU, BR, CA, CN, JP, MX, PL, ZA				
RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR				
AU 2001017709	A5	20020527	AU 2001-17709	20001116

PRIORITY APPLN. INFO.: WO 2000-US31557 20001116

AB Angiostatic agents and another IOP lowering compd. are combined in ophthalmic compns. to treat glaucoma and ocular hypertension. Methods for treating glaucoma and ocular hypertension are also disclosed.

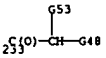
MSTR 1A



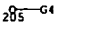
G4 = Ph
G13 = 46

G24 = OH
G29 = 233

L8 ANSWER 1 OF 11 MARPAT COPYRIGHT 2002 ACS (Continued)



G48 = 205



MPL: claim 2
NTE: and pharmaceutically acceptable salts
NTE: additional double bond, oxo, epoxy and methylene formation also claimed
NTE: substitution is restricted

REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

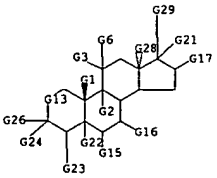
L8 ANSWER 2 OF 11 MARPAT COPYRIGHT 2002 ACS
ACCESSION NUMBER: 134:91141 MARPAT
TITLE: Combination therapy for lowering and controlling intraocular pressure containing angiostatic steroids
INVENTOR(S): Clark, Abbot F.
PATENT ASSIGNEE(S): Alcon Laboratories, Inc., USA
SOURCE: U.S., 7 pp.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 6172054	B1	20010109	US 1995-491005	19950615

PRIORITY APPLN. INFO.: US 1995-491005 19950615

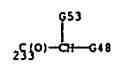
AB Angiostatic agents and another IOP lowering compd. are combined in ophthalmic compns. to treat glaucoma and ocular hypertension. Methods for treating glaucoma and ocular hypertension are also disclosed. A soln. was prepd. contg timolol maleate and 4,9(11)-pregnadiene-17.alpha.,21-diol-3,20-dione 21 acetate.

MSTR 1A



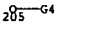
G4 = Ph
G13 = 46

G24 = OH
G29 = 233



G48 = 205

L8 ANSWER 2 OF 11 MARPAT COPYRIGHT 2002 ACS (Continued)



MPL: claim 1
NTE: and pharmaceutically acceptable salts
NTE: additional double bond, oxo, epoxy and methylene formation also claimed
NTE: substitution is restricted

REFERENCE COUNT: 20 THERE ARE 20 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

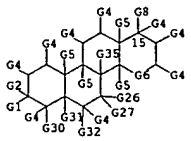
L8 ANSWER 3 OF 11 MARPAT COPYRIGHT 2002 ACS
ACCESSION NUMBER: 133:350394 MARPAT
TITLE: Preparation of steroid derivatives
INVENTOR(S): Liao, Shutsung; Song, Ching
PATENT ASSIGNEE(S): Arch Development Corporation, USA
SOURCE: PCT Int. Appl., 67 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000066611	A1	20001109	WO 2000-US11243	20000427
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
EP 1189922	A1	20020327	EP 2000-928431	20000427
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
BR 2000010197	A	20020716	BR 2000-10197	20000427
JP 2002543216	T2	20021217	JP 2000-615640	20000427
NO 2001005314	A	20011227	NO 2001-5314	20011030
PRIORITY APPLN. INFO.:			US 1999-131728P	19990430
			US 2000-191864P	20000324
			WO 2000-US11243	20000427

AB The steroid derivs. I (R3 = H, amino, carboxyl, oxo, halo, sulfonic acid, -O-sulfonic acid, or alkyl that is optionally inserted with -NH-,-N(alkyl)-, -O-, -S-, -SO-, -SO2-, -O-SO2-, -SO2-O-, -O-SO3-, -SO3-O-, -CO-, -CO-O-, -O-CO-, -CO-NH-, -CO-N(alkyl)-, -NH-CO-, or -N(alkyl)-CO-, and further optionally substituted with hydroxy, halo, amino, carboxyl, sulfonic acid, or -O-sulfonic acid),. R1, R2, R4, R4', R6, R7, R11, R12, R15, R16, and R17', independently, is H, hydroxy, amino, carboxyl, oxo, halo, sulfoic acid, -O-sulfonic acid, or alkyl that is optionally inserted with -NH-, -N(alkyl)-, -O-, -S-, -SO-, -SO2-, -O-SO2-, -SO2-O-, -O-SO3-, -SO3-O-, -CO-, -CO-O-, -O-CO-, -CO-NH-, -CO-N(alkyl)-, -NH-CO-, or -N(alkyl)-CO-, and further optionally substituted with hydroxy, halo, amino, carboxyl, sulfonic acid, or -O-sulfonic acid. R5, R8, R9, R10, R13, and R14, independently, is H, alkyl, haloalkyl, hydroxyalkyl, alkoxy, hydroxy, or amino; R17 is -X-Y-Z, in which X is a bond, or alkyl or alkenyl, optionally inserted with -NH-, -N(alkyl)-, -O-, or -S-, and further optionally forming a cyclic moiety with R16 and the 2 ring carbon atoms to which R16 and R17 are bonded; Y is -CO-, -SO-, -SO2-, -O-SO2-, -SO2-O-, -O-SO3-, -SO3-O-, -CO-O-, -O-CO-, -CO-NH-,-CO-N(alkyl)-, -NH-CO-, -N(alkyl)-CO-, or a bond. Z = alkyl, alkenyl, alkynyl, cycloalkyl, heterocycloalkyl, cycloalkenyl, heterocycloalkenyl, aryl, heteroaryl, aralkyl, or heteroaralkyl, and is optionally substituted with hydroxy, alkoxy, amino, halo, sulfonic acid, -O-sulfonic acid, carboxyl, oxo, alkyloxycarbonyl, alkylcarbonyloxy, alkylaminocarbonyl, alkylcarbonylamino, alkylcarbonyl, alkylsulfinyl, alkylsulfonyl, or

L8 ANSWER 3 OF 11 MARPAT COPYRIGHT 2002 ACS (Continued)
alkylthio; or is -CH(A)-B with A being a side chain of an amino acid, and B being hydrogen, -NRaRb, or -COORc wherein each of Ra, Rb, and Rc, independently, is hydrogen or alkyl; n is 0, 1, or 2. Provided that when Z is substituted with carboxyl or alkyloxycarbonyl, Y is a bond and either X or Z contains at least one double bond, and that when Y is a bond, either X is -NH-alkyl, -NH-alkenyl, -N(alkyl)-alkyl-, -N(alkyl)-alkenyl-, -O-alkyl-, -O-alkenyl-, -S-alkyl-, or -S-alkenyl-; or Z is substituted with halo, sulfonic acid, -O-sulfonic acid, alkylsulfinyl, or alkylsulfonyl, or is alkenyl or their salts were prepd. Thus, to a stirred soln. of L- (or D-) phenylalanine ester hydrochloride in dry DMF was added triethylamine and the mixt. was stirred at room temp. for 10 min, bile acid and 1-ethyl-3-[3-dimethylaminopropyl]-carbodiimide were then added and the suspension was stirred at room temp. overnight. Reaction mixt. was dild. with water and Et acetate, the org. layer was sepd. and the water layer was extd. with Et acetate again, the combined org. layer was then washed with 1N HCl, water, 1N NaOH and water, and dried (MgSO4), removed the solvent under reduced pressure to afford the steroid derivs., e.g. II. Steroid derivs. of I interact with nuclear liver X receptor (LXR) and ubiquitous receptor (UR), and can be used to treat a variety of LXR- or UR- mediated disorders.

MSTR 1A



G1 = OH
G8 = 44

G12 = 89

G13 = 47

L8 ANSWER 3 OF 11 MARPAT COPYRIGHT 2002 ACS (Continued)
MPL: claim 1
NTE: additional derivatization also claimed
NTE: substitution is restricted
NTE: or salts
NTE: also incorporates claims 18, 35 and 49

REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

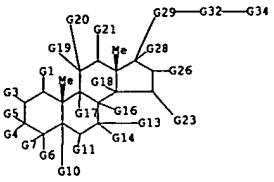
L8 ANSWER 4 OF 11 MARPAT COPYRIGHT 2002 ACS
ACCESSION NUMBER: 131:351537 MARPAT
TITLE: Preparation of sterol derivatives as meiosis regulating compounds
INVENTOR(S): Faarup, Peter; Gronvald, Frederik Christian; Blume, Thorsten; Murray, Ann; Murray, Anthony; Breinholt, Jens
PATENT ASSIGNEE(S): Novo Nordisk A/S, Den.
SOURCE: PCT Int. Appl., 104 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9958549	A1	19991118	WO 1999-DK263	19990511
W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
EP 957108	A1	19991117	EP 1998-250166	19980514
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
CA 2331962	AA	19991118	CA 1999-2331962	19990511
AU 9937011	A1	19991129	AU 1999-37011	19990511
BR 9910415	A	20010109	BR 1999-10415	19990511
EP 1077992	A1	20010228	EP 1999-919126	19990511
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, FI, RO				
NO 2000005667	A	20010112	NO 2000-5667	20001110
US 2001003782	A1	20010614	US 2001-760237	20010112
US 6407086	B2	20020618		
US 2002183283	A1	20021205	US 2002-162843	20020604
PRIORITY APPLN. INFO.:			DK 1998-657	19980513
			EP 1998-250166	19980514
			US 1998-86306P	19980521
			DK 1998-811	19980619
			US 1998-92983P	19980716
			WO 1999-DK263	19990511
			US 1999-332235	19990614
			US 2001-760237	20010112

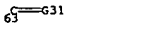
AB Steroid derivs. of formula I [R1 = H, halo, Me, OH, oxo; R2 = H, OH, alkyl, alkoxy, halo, etc.; R3 = H, alkoxy, acyloxy, halo, sulfonyloxy, perfluoroalkyl, etc.; R'3 = H, OH; R3R'3 = oxo, (substituted) NOH; R4, R'4 = H, halo, OH, alkyl; R4R'4 = CH2, oxo, cyclopropyl; R5 = H, halo, OH, alkyl, CN, hydroxymethyl, CHO, CO2H, etc.; R'4R5 = methano; R6 = H, OH, halo, oxo; R7, R11 = H, OH, alkoxy, acyloxy, halo, alkyl; R'7, R'11, R14, R17 = H, OH; R8, R9 = H, OH, halo; R12, R15, R16 = H, halo, alkyl, CH2, OH, alkoxy, acyloxy, oxo, etc.; R20 = H, alkyl, hydroxymethyl, CH2; R'20 = H, halo, alkyl, OH; R22 = Ph, benzyl, cyclohexyl, alkenyl, etc.; R'22 = H, OH, oxo] are prepd. for regulating the meiosis in oocytes and in male germ cells. Thus, 3.beta.-hydroxy-4,4-dimethyl-5.alpha.-chola-8,14-dien-24-oic acid Me ester (prepn. given) was transformed into II in 5 steps. II showed a mean germinal vesicle breakdown of 88% after culture of naked

L8 ANSWER 4 OF 11 MARPAT COPYRIGHT 2002 ACS (Continued)
oocytes in vitro.

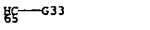
MSTR 1A



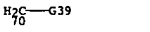
G5 = OH
G29 = 63



G31 = O
G32 = 65



G34 = 70



G39 = Ph (SO (1-) G35)
MPL: claim 1
NTE: substitution is restricted
NTE: additional bond, oxo, methylene, oxime and ring formation also claimed

REFERENCE COUNT: 20 THERE ARE 20 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 5 OF 11 MARPAT COPYRIGHT 2002 ACS
ACCESSION NUMBER: 131:351535 MARPAT
TITLE: synthesis and compositions of angiostatic agents for controlling ocular hypertension
INVENTOR(S): Clark, Abbot F.
PATENT ASSIGNEE(S): Alcon Laboratories, Inc., USA
SOURCE: U.S., 10 pp., Cont.-in-part of U.S. Ser. No. 990,424, abandoned.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 7
PATENT INFORMATION:

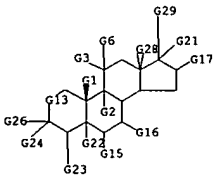
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 5990099	A	19991123	US 1997-994114	19971219
US 4876250	A	19891024	US 1988-264918	19881031
US 5371078	A	19941206	US 1992-941485	19920908
US 5698545	A	19971216	US 1996-643387	19960506
WO 9903503	A1	19990128	WO 1998-US12711	19980618
W: AU, BR, CA, JP, MX, US				
RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
AU 9881515	A1	19990210	AU 1998-81515	19980618
AU 734195	B2	20010607		
EP 1003553	A1	20000531	EP 1998-931367	19980618
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				
BR 9811012	A	20001017	BR 1998-11012	19980618
JP 2001510170	T2	20010731	JP 2000-502798	19980618
CA 2315829	AA	19990701	CA 1998-2315829	19981207
WO 9932127	A1	19990701	WO 1998-US25913	19981207
W: AU, BR, CA, JP, MX				
RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
AU 9917142	A1	19990712	AU 1999-17142	19981207
AU 734436	B2	20010614		
EP 1039912	A1	20001004	EP 1998-961956	19981207
EP 1039912	B1	20020807		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				
BR 9813684	A	20001010	BR 1998-13684	19981207
JP 2001526233	T2	20011218	JP 2000-525118	19981207
AT 221781	E	20020815	AT 1998-961956	19981207

PRIORITY APPLN. INFO.: US 1988-264918 19881031
US 1989-419226 19891010
US 1990-559123 19900727
US 1992-941485 19920908
US 1994-349342 19941202
US 1996-643387 19960506
US 1997-990424 19971215
US 1997-895184 19970716
US 1997-994114 19971219
WO 1998-US12711 19980618
WO 1998-US25913 19981207

AB Compns. of angiostatic agents for treating GLC1A glaucoma and methods for

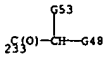
L8 ANSWER 5 OF 11 MARPAT COPYRIGHT 2002 ACS (Continued)
their use are disclosed. Prepn. of selected steroid agents of the invention, e.g. 3.beta.-acetamido-5.beta.-pregnan-11.beta.,17.alpha.,21-triol-20-one 21-acetate, is described.

MSTR 1A



G4 = Ph
G13 = 46

G24 = OH
G29 = 233



G48 = 205

DER: and pharmaceutically acceptable salts
MPL: claim 1
NTE: additional double bond, oxo and methylene formation also claimed
NTE: substitution is restricted

REFERENCE COUNT: 28 THERE ARE 28 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 6 OF 11 MARPAT COPYRIGHT 2002 ACS
ACCESSION NUMBER: 131:54038 MARPAT
TITLE: Steroidal angiostatic agents and compositions for controlling GLC1A glaucoma, compositions, and preparation thereof
INVENTOR(S): Clark, Abbot F.
PATENT ASSIGNEE(S): Alcon Laboratories, Inc., USA
SOURCE: PCT Int. Appl., 35 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 7
PATENT INFORMATION:

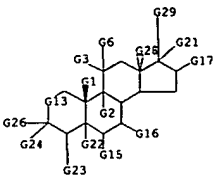
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9932127	A1	19990701	WO 1998-US25913	19981207
W: AU, BR, CA, JP, MX				
RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
US 5990099	A	19991123	US 1997-994114	19971219
WO 9903503	A1	19990128	WO 1998-US12711	19980618
W: AU, BR, CA, JP, MX, US				
RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
AU 9881515	A1	19990210	AU 1998-81515	19980618
AU 734195	B2	20010607		
EP 1003553	A1	20000531	EP 1998-931367	19980618
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				
BR 9811012	A	20001017	BR 1998-11012	19980618
JP 2001510170	T2	20010731	JP 2000-502798	19980618
CA 2315829	AA	19990701	CA 1998-2315829	19981207
AU 9917142	A1	19990712	AU 1999-17142	19981207
AU 734436	B2	20010614		
EP 1039912	A1	20001004	EP 1998-961956	19981207
EP 1039912	B1	20020807		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				
BR 9813684	A	20001010	BR 1998-13684	19981207
JP 2001526233	T2	20011218	JP 2000-525118	19981207
AT 221781	E	20020815	AT 1998-961956	19981207

PRIORITY APPLN. INFO.: US 1997-994114 19971219
US 1988-264918 19881031
US 1989-419226 19891010
US 1990-559123 19900727
US 1992-941485 19920908
US 1994-349342 19941202
US 1996-643387 19960506
US 1997-895184 19970716
US 1997-990424 19971215
WO 1998-US12711 19980618
WO 1998-US25913 19981207

AB Compns. of steroid angiostatic agents for treating GLC1A glaucoma and methods for their use are disclosed. Prepn. of selected steroid agents of the invention , e.g. 3.beta.-acetamido-21-acetoxy-5.beta.-pregnan-11.beta.,17.alpha.-diol-20-one, is described.

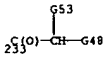
MSTR 1A

L8 ANSWER 6 OF 11 MARPAT COPYRIGHT 2002 ACS (Continued)



G4 = Ph
G13 = 46

G24 = OH
G29 = 233



G48 = 205

DER: and pharmaceutically acceptable salts
MPL: claim 2
NTE: additional double bond, oxo and methylene formation also claimed
NTE: substitution is restricted

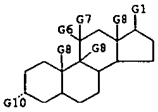
REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 7 OF 11 MARPAT COPYRIGHT 2002 ACS
ACCESSION NUMBER: 129:50104 MARPAT
TITLE: Method for regulating neuropeptide hormone secretion
INVENTOR(S): Jackson, Meyer B.
PATENT ASSIGNEE(S): USA
SOURCE: U.S., 14 pp., Cont.-in-part of U. S. 5,550,120.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

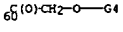
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 5763431	A	19980609	US 1996-701869	19960823
US 5550120	A	19960827	US 1995-415741	19950403
PRIORITY APPLN. INFO.:			US 1993-109683	19930820
			US 1995-415741	19950403

AB Methods are described for regulating neuropeptide secretion to alleviate premature labor, hypertension, fluid imbalance, and risk of heart disease using neuroactive steroids targeted for a newly-identified site of action in the nerve terminals of neurosecretory neurons. This class of compds. acts at receptors for the inhibitory neurotransmitter GABA. The compds. covered in this invention act at receptors for the inhibitory neurotransmitter GABA and include various ester, oxime, and thiazolidine derivs. of 3-hydroxylated-5-reduced-20-ones, 5-reduced-3,21-pregnanediol-20-ones, and 5-reduced-3,20-pregnandiols having substituent in the 9-position. The method of the invention is applicable to human patients, farm animals, and pets.

MSTR 1



G1 = 60



G4 = pyridyl
G10 = OH
MPL: claim 3

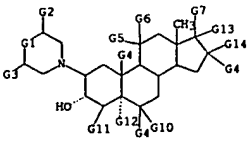
REFERENCE COUNT: 12 THERE ARE 12 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 8 OF 11 MARPAT COPYRIGHT 2002 ACS
ACCESSION NUMBER: 126:89635 MARPAT
TITLE: Preparation of 2.beta.-(alkylmorpholino)androstane derivatives as anesthetics
INVENTOR(S): Campbell, Alexander Cupples; Hamilton, Nial Morton
PATENT ASSIGNEE(S): Akzo Nobel N.V., Neth.; Campbell, Alexander Cupples; Hamilton, Nial Morton
SOURCE: PCT Int. Appl., 26 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9638465	A1	19961205	WO 1996-EP2346	19960603
W: AL, AM, AU, BB, BG, BR, CA, CN, CZ, EE, GE, HU, IS, JP, KG, KP, KR, LK, LR, LS, LT, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, RO, SD, SG, SI, SK, TR, TT, UA, UG, US, UZ, VN, AM, AZ, BY, KG, KZ, MD, RU				
RW: KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
AU 9661896	A1	19961218	AU 1996-61896	19960603
PRIORITY APPLN. INFO.:			EP 1995-201454	19950602
			WO 1996-EP2346	19960603

AB This invention is related to alkyl substituted 2.beta.-morpholinoandrostane derivs. I [Ra = C3-6-alkyl; Rb = H or C3-6-alkyl; R1, R2 and R3 are independently H or Me; R4 = (H,H), (H,OH), O; Z = CN, COCH2X; X = H, halogen, OH, CN, N3, SCN, C1-6-alkyl (optionally substituted with halogen), cyclohexyl, alkoxy, PhO, phenyl-C1-6-alkyl, C1-6-alkoxy, C1-6-acyloxy, C1-6-acylthio, pyrrolidinyl, piperidinyl, morpholinyl, thiomorpholinyl, NH2 (optionally substituted with C1-6-alkyl); Y is O or S; dotted lines are optional bonds, with H(5) absent when 4,5- and 5,6-links are double bonds] or a pharmaceutically acceptable salt thereof. Thus, II [Ra = CHMe2-(R), R4 = O, Z = Ac] was prepd. via condensation of epoxide III with 2-propylmorpholine hydrochloride. II [Ra = CHMe2-(R), Pr-(S), Pr-(R), CH2CHMe2-(R), (CHMeEt-(S))-(R), R4 = O, Z = Ac; Ra = CHMe2-(R), R4 = (H,H), Z = COCH2SMe] are very potent i.v. anesthetics with therapeutic indexes (TI) of 4.9-9.9 (TI = LD50/HD50). The compds. have fast onset times and ideal "sleep duration" vs. "recovery to full coordination" profiles.

MSTR 1



G7 = 35

L8 ANSWER 8 OF 11 MARPAT COPYRIGHT 2002 ACS (Continued)

G8 = OPh
DER: or pharmaceutically acceptable salts
MPL: claim 2

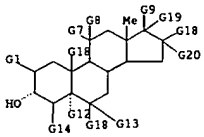
L8 ANSWER 9 OF 11 MARPAT COPYRIGHT 2002 ACS
ACCESSION NUMBER: 123:228632 MARPAT
TITLE: Substituted 2.beta.-morpholinoandrostane derivatives.
INVENTOR(S): Campbell, Alexander Cupples
PATENT ASSIGNEE(S): Akzo Nobel N.V., Neth.
SOURCE: Eur. Pat. Appl., 24 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 656365	A1	19950607	EP 1994-203468	19941129
EP 656365	B1	19970409		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE				
ZA 9409226	A	19950801	ZA 1994-9226	19941121
CA 2136915	AA	19950603	CA 1994-2136915	19941129
AT 151436	E	19970415	AT 1994-203468	19941129
FI 9405674	A	19950603	FI 1994-5674	19941201
NO 9404626	A	19950606	NO 1994-4626	19941201
AU 9479175	A1	19950608	AU 1994-79175	19941201
AU 681714	B2	19970904		
HU 69391	A2	19950928	HU 1994-3454	19941201
US 5593983	A	19970114	US 1994-347974	19941201
JP 07196684	A2	19950801	JP 1994-299961	19941202

PRIORITY APPLN. INFO.: EP 1993-309663 19931202

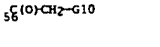
AB The invention is related to substituted 2.beta.-morpholino-androstane derivs. I [R = substituted morpholino, thiomorpholino; R1-R3 = H, Me; R4 = CN, COCH2R5; R5 = H, halogen, (un)substituted OH, CN, N3, SCN, (un)substituted alkyl, amino; X = O, H2, H,OH; the dotted bonds are single or double bonds]; or a pharmaceutically acceptable salt thereof. These steroids are very potent i.v. anesthetics. The compds. have fast onset times and ideal sleep duration vs. recovery to full coordination profiles. Thus, (2.alpha.,3.alpha.,5.alpha.)-2,3-epoxypregnane-11,20-dione cyclic ethylene acetal was treated with 2,2-dimethylmorpholine to give (2.beta.,3.alpha.,5.alpha.)-3-hydroxy-2-(2,2-dimethylmorpholin-4-yl)pregnane-11,20-dione which had a therapeutic index of 13.4 as an anesthetic in mice.

MSTR 1



G9 = 56

L8 ANSWER 9 OF 11 MARPAT COPYRIGHT 2002 ACS (Continued)



G10 = OPh
DER: or pharmaceutically acceptable salts
MPL: claim 2

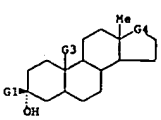
L8 ANSWER 10 OF 11 MARPAT COPYRIGHT 2002 ACS
ACCESSION NUMBER: 122:291311 MARPAT
TITLE: Preparation and formulation of 3.alpha.-hydroxypregnanes and analogs as sedatives and hypnotics
INVENTOR(S): Gee, Kelvin W.; Lan, Nancy Tsai-Yun
PATENT ASSIGNEE(S): Cocensys, Inc., USA
SOURCE: PCT Int. Appl., 152 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9427608	A1	19941208	WO 1994-US5820	19940524
W: AU, CA, JP, KR, NO				
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
CA 2163748	AA	19941208	CA 1994-2163748	19940523
JP 08511771	T2	19961210	JP 1994-500892	19940523
AU 9469883	A1	19941220	AU 1994-69883	19940524
EP 701444	A1	19960320	EP 1994-918659	19940524
R: DE, FR, GB				
EP 1038880	A2	20000927	EP 2000-200119	19950214
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE				
US 5939545	A	19990817	US 1997-887229	19970702
US 6143736	A	20001107	US 1999-349902	19990708
US 6277838	B1	20010821	US 2000-547041	20000411

PRIORITY APPLN. INFO.:
US 1993-68378 19930524
US 1994-196919 19940214
US 1994-196972 19940214
US 1994-246275 19940519
WO 1994-US5820 19940523
US 1994-346927 19941123
EP 1995-913478 19950214
US 1995-389820 19950214
US 1997-887229 19970702
US 1999-349902 19990708

AB Title compds. [e.g.I; R = H, (halo)alkyl, alkenyl, alkynyl, aryl(alkyl), etc.; R1 = H or Me; R2 = cyano, CH2OH, COMe, C.tplbond.CH, etc.; R3 = H: R2R3 = CH2, CHOMe, CHMe, CHEt], for interaction with the GABAA receptor complex to induce sleep in humans, were prepd. Thus, 5.alpha.-pregnane-3,20-dione 20-ketal was converted in 2 steps to title compd. II (R = C.tplbond.CH) which was condensed with 4-IC6H4COMe to give II [R = 4-(MeCO)C6H4C.tplbond.C] which had IC50 of 5nM against TBPS binding at rat cerebral cortex prepn. in vitro.

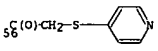
MSTR 1



L8 ANSWER 10 OF 11 MARPAT COPYRIGHT 2002 ACS (Continued)

G4 = 25

G6 = 56



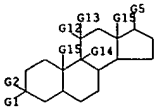
DER: and pharmaceutically acceptable esters
MPL: claim 1

L8 ANSWER 11 OF 11 MARPAT COPYRIGHT 2002 ACS
ACCESSION NUMBER: 122:231756 MARPAT
TITLE: Method for regulating neuropeptide hormone secretion
INVENTOR(S): Jackson, Meyer B.
PATENT ASSIGNEE(S): USA
SOURCE: PCT Int. Appl., 26 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

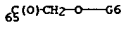
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9505826	A1	19950302	WO 1994-US9334	19940819
W: AU, CA, JP				
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
AU 9475691	A1	19950321	AU 1994-75691	19940819
PRIORITY APPLN. INFO.:			US 1993-109683	19930820
			WO 1994-US9334	19940819

AB Methods are described for regulating neuropeptide, vasopressin and oxytocin, secretion to alleviate premature labor, hypertension, fluid imbalance, and risk of heart disease using neuroactive steroids, such as allopregnanolone and alphaxalone, targeted for a newly-identified site of action in the nerve terminals of neurosecretory neurons in the posterior pituitary.

MSTR 1



G1 = OH
G5 = 65



G6 = pyridyl
MPL: claim 1

```
=> dhis
DHIS IS NOT A RECOGNIZED COMMAND
The previous command name entered was not recognized by the system.
For a list of commands available to you in the current file, enter
"HELP COMMANDS" at an arrow prompt (=>).

=> d his

     (FILE 'HOME' ENTERED AT 09:01:41 ON 30 DEC 2002)

     FILE 'REGISTRY' ENTERED AT 09:01:46 ON 30 DEC 2002
L1              STRUCTURE UPLOADED
L2            1 S L1
L3           48 S L1 FULL

     FILE 'USPATFULL' ENTERED AT 09:02:31 ON 30 DEC 2002
L4            9 S L3

     FILE 'CAPLUS' ENTERED AT 09:07:26 ON 30 DEC 2002
L5           11 S L3

     FILE 'BEILSTEIN' ENTERED AT 09:09:40 ON 30 DEC 2002
L6            7 S L1 FULL

     FILE 'MARPAT' ENTERED AT 09:12:45 ON 30 DEC 2002
L7           13 S L3 FULL
L8           11 S L7/COM
```

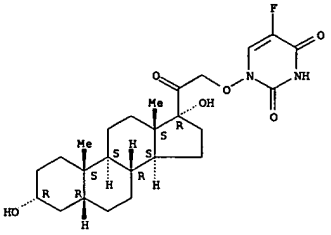
L6 ANSWER 6 OF 6 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1995:858705 CAPLUS
DOCUMENT NUMBER: 123:266118
TITLE: Codrugs as a method of controlled drug delivery
INVENTOR(S): Ashton, Paul; Crooks, Peter Anthony; Riggs, Robert Mack; Cynkowski, Tadeusz; Cynkowska, Grazyna
PATENT ASSIGNEE(S): University of Kentucky Research Foundation, USA
SOURCE: PCT Int. Appl., 57 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 6
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9520567	A1	19950803	WO 1994-US1659	19940217
W: AU, CA, JP				
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
CA 2182228	AA	19950803	CA 1994-2182228	19940217
AU 9462545	A1	19950815	AU 1994-62545	19940217
AU 705226	B2	19990520		
EP 740650	A1	19961106	EP 1994-909643	19940217
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE				
JP 09509151	T2	19970916	JP 1994-520023	19940217
US 6051576	A	20000418	US 1997-791071	19970129

PRIORITY APPLN. INFO.: US 1994-187462 19940128
WO 1994-US1659 19940217
US 1995-388855 19950215

IT 169046-79-1P
RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(codrug compns. for controlled drug delivery)
RN 169046-79-1 CAPLUS
CN 2,4(1H,3H)-Pyrimidinedione, 1-[[(3.alpha.,5.beta.)-3,17-dihydroxy-20-oxopregnan-21-yl]oxy]-5-fluoro- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L6 ANSWER 6 OF 6 CAPLUS COPYRIGHT 2002 ACS (Continued)
AB A codrug compn. of at least two drug compds. covalently linked to one another via a labile bond to form a single codrug compn., and methods of use of the codrug for the treatment of various medical conditions are disclosed. The codrug may be administered by itself or as a bioerodible or nonbioerodible dosage form, such as injection, liposome, suspension, microsphere, nanoparticle, ointment, transdermal patch, etc.

=> d ibib ab fqhit 1-2

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=> d his

     (FILE 'HOME' ENTERED AT 11:16:24 ON 30 DEC 2002)

     FILE 'REGISTRY' ENTERED AT 11:16:29 ON 30 DEC 2002
L1                STRUCTURE UPLOADED
L2             36 S L1 FULL
L3                STRUCTURE UPLOADED
L4              9 S L3 FULL SUB=L2

     FILE 'USPATFULL' ENTERED AT 11:18:29 ON 30 DEC 2002
L5              2 S L4

     FILE 'CAPLUS' ENTERED AT 11:19:08 ON 30 DEC 2002
L6              6 S L4
L7              0 S L6 NOT L5

     FILE 'MARPAT' ENTERED AT 11:21:27 ON 30 DEC 2002
L8              4 S L4 FULL
L9              2 S L8/COM

=> d ibib ab hitstr 1-9
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